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LOGINID:sssptal626gms

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 09	CA/CAPLUS records now contain indexing from 1907 to the present
NEWS	4	DEC 08	INPADOC: Legal Status data reloaded
NEWS	5	SEP 29	DISSABS now available on STN
NEWS	6	OCT 10	PCTFULL: Two new display fields added
NEWS	7	OCT 21	BIOSIS file reloaded and enhanced
NEWS	8	OCT 28	BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS	9	NOV 24	MSDS-CCOHS file reloaded
NEWS	10	DEC 08	CABA reloaded with left truncation
NEWS	11	DEC 08	IMS file names changed
NEWS	12	DEC 09	Experimental property data collected by CAS now available in REGISTRY
NEWS	13	DEC 09	STN Entry Date available for display in REGISTRY and CA/CAPLUS
NEWS	14	DEC 17	DGENE: Two new display fields added
NEWS	15	DEC 18	BIOTECHNO no longer updated
NEWS	16	DEC 19	CROPU no longer updated; subscriber discount no longer available
NEWS	17	DEC 22	Additional INPI reactions and pre-1907 documents added to CAS databases
NEWS	18	DEC 22	IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields
NEWS	19	DEC 22	ABI-INFORM now available on STN
NEWS	20	JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS	21	JAN 27	A new search aid, the Company Name Thesaurus, available in CA/CAPLUS
NEWS	22	FEB 05	German (DE) application and patent publication number format changes
NEWS EXPRESS			DECEMBER 28 CURRENT WINDOWS VERSION IS V7.00, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS INTER			General Internet Information
NEWS LOGIN			Welcome Banner and News Items
NEWS PHONE			Direct Dial and Telecommunication Network Access to STN
NEWS WWW			CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 09:11:53 ON 12 FEB 2004

=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 09:12:23 ON 12 FEB 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 11 FEB 2004 HIGHEST RN 649538-27-2

DICTIONARY FILE UPDATES: 11 FEB 2004 HIGHEST RN 649538-27-2

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

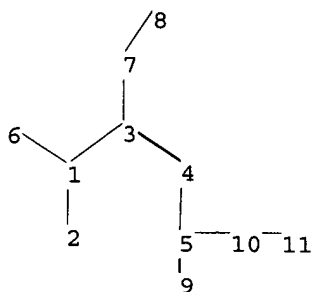
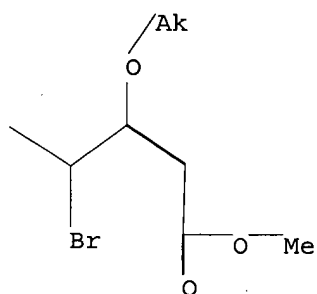
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10634395.str



chain nodes :

1 2 3 4 5 6 7 8 9 10 11

chain bonds :

1-2 1-3 1-6 3-4 3-7 4-5 5-9 5-10 7-8 10-11

exact/norm bonds :

3-7 5-9 5-10 7-8

exact bonds :

1-2 1-3 1-6 3-4 4-5 10-11

Match level :

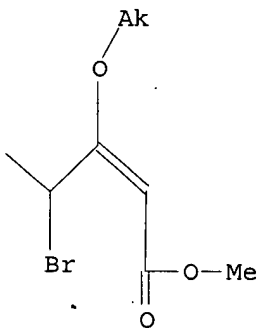
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS  
10:CLASS 11:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 09:12:36 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED 4 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

10634395

02/12/2004

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 4 TO 200  
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=&gt; s l1 sss full

FULL SEARCH INITIATED 09:12:43 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 74 TO ITERATE

100.0% PROCESSED 74 ITERATIONS  
SEARCH TIME: 00.00.01

5 ANSWERS

L3 5 SEA SSS FUL L1

=&gt; FIL CAPLUS

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
155.42	155.63

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 09:12:49 ON 12 FEB 2004  
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FILE COVERS 1907 - 12 Feb 2004 VOL 140 ISS 7  
FILE LAST UPDATED: 11 Feb 2004 (20040211/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=&gt; s l3

L4

10 L3

=&gt; d l4 ibib abs hitstr tot

L4 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:977822 CAPLUS

DOCUMENT NUMBER: 138:55969

TITLE: Preparation of thiazolotriazole derivatives,  
intermediates thereof, and herbicides containing the  
derivatives as the active ingredient

INVENTOR(S): Yano, Tomoyuki; Yoshii, Tomoko; Ito, Hiroshi; Ueda,  
Takuya

PATENT ASSIGNEE(S): Kaken Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 120 pp.

CODEN: PIXXD2

10634395

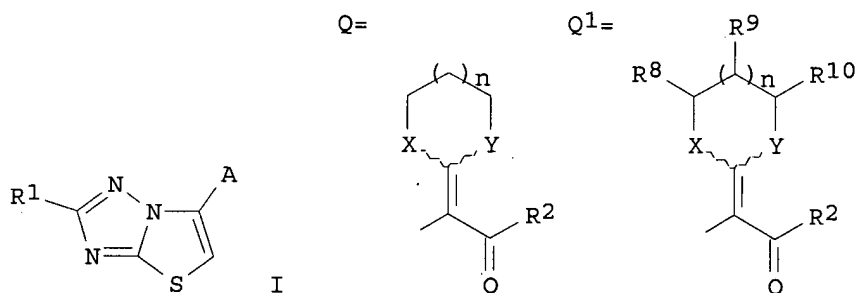
DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002102809	A1	20021227	WO 2002-JP5778	20020611
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: JP 2001-178182 A 20010613

OTHER SOURCE(S): MARPAT 138:55969

GI



AB Thiazolotriazole derivs. represented by the general formula (I) or salts thereof [wherein R1 = H, halo, C1-6 alkyl or haloalkyl; A = Q, Q1 (wherein X = O, CHR3, NR4; Y = O, S; R2 = ZR5, NR6R7; n = 0-3; Z = O, S; R3 = H, halo; R4 = H, C1-4 alkyl; R5, R6, R7 = H, each optionally substituted C1-12 alkyl, C3-8 cycloalkyl, C3-12 alkenyl, C3-8 alkynyl, Ph, or C7-11 aralkyl; or R6 and R7 together with the attached N from a heterocyclyl group; R8, R9, R10 = H, C1-4 alkyl, halo)] are prepared Disclosed are herbicides containing the thiazolotriazole derivs. I or the salts as the active ingredients, in particular herbicides for rice paddy field. These thiazolotriazole derivs. exhibit excellent herbicidal activity against weeds without doing chemical damage to crops including rice plants, when applied even in a lowered dosage. Thus, a solution of 4.06 g (2-trifluoromethylthiazolo[3,2-b]triazol-5-yl)acetic acid amyl ester in 5 mL DMF was added to a suspension of 1.26 g (60 weight%, 31.5 mmol) in 15 mL DMF under Ar with ice-cooling and stirred for 15 min, followed by adding a solution of 1.5 mL 4-chlorobutyryl chloride in 5 mL DMF, and the resulting mixture was stirred at 0° for 2 h to give (E)-(2-trifluoromethylthiazolo[3,2-b]triazol-5-yl)-2-(tetrahydrofuran-2-ylidene)acetic acid amyl ester (II). II at 100 g/ha postemergence controlled 100% Echinochloa crus-galli, Cyperus difformis, broad leaved weed, Monochoria vaginalis, and Scirpus juncoides in flooded paddy soil vs. 0.1-90.0% for Pyrazolynate and gave no damage to rice seedlings.

IT 479253-48-0P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN

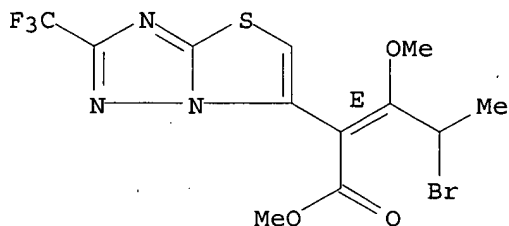
(Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiazolotriazole derivs. as herbicides for rice paddy)

RN 479253-48-0 CAPLUS

CN Thiazolo[3,2-b][1,2,4]triazole-6-acetic acid,  $\alpha$ -(2-bromo-1-methoxypropylidene)-2-(trifluoromethyl)-, methyl ester, ( $\alpha$ E)- (9CI)  
(CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:780884 CAPLUS

DOCUMENT NUMBER: 135:331416

TITLE: Preparation of thiazolidinedione derivatives and intermediates

INVENTOR(S): Scalone Michelangelo

PATENT ASSIGNEE(S): Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001079202	A1	20011025	WO 2001-EP3802	20010404
W:				
AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:				
GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2001049445	A1	20011206	US 2001-814907	20010322
US 6531609	B2	20030311		
EP 1282619	A1	20030212	EP 2001-931561	20010404
R:				
AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003531146	T2	20031021	JP 2001-576801	20010404
US 2003092916	A1	20030515	US 2002-288316	20021105
US 6620941	B2	20030916		
US 2004024222	A1	20040205	US 2003-634395	20030805
PRIORITY APPLN. INFO.:			EP 2000-108303	A 20000414
			US 2001-814907	A3 20010322

02/12/2004

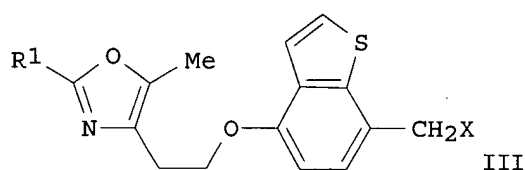
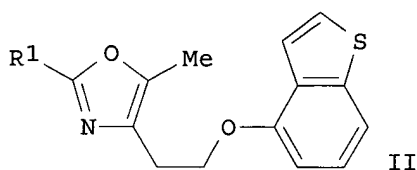
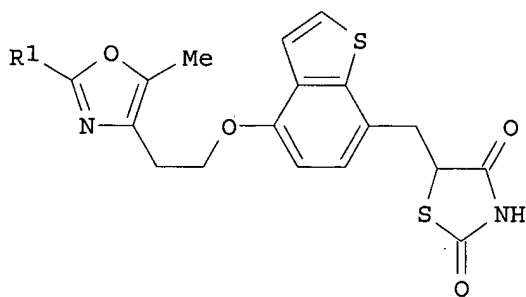
WO 2001-EP3802 W 20010404

US 2002-288316 A3 20021105

OTHER SOURCE(S):

CASREACT 135:331416; MARPAT 135:331416

GI



AB The title compds. [I; R1 = (hetero)aryl] and their corresponding salts, e.g., the sodium salts, which are pharmaceutically active substances in the treatment of diabetes (no biol. data) were prepared via bromomethylation or chloromethylation of II and subsequent reaction of III [X = Cl, Br] with 2,4-thiazolidinedione.

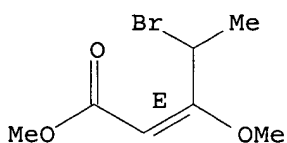
IT 369631-82-3P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of thiazolidinedione derivs. and intermediates)

RN 369631-82-3 CAPLUS

CN 2-Pentenoic acid, 4-bromo-3-methoxy-, methyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT:

12

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1989:533787 CAPLUS

DOCUMENT NUMBER: 111:133787

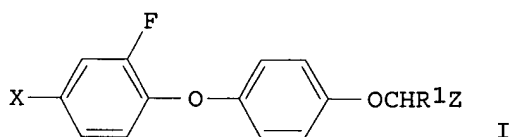
TITLE: 2-[4-(2-Fluorophenoxy)phenoxy]propionates and analogs thereof as herbicides

INVENTOR(S): Rogers, Richard B.; Gerwick, B. Clifford, III

10634395

PATENT ASSIGNEE(S): Dow Chemical Co., USA  
 SOURCE: U.S., 25 pp. Cont.-in-part of U.S. 4,550,192.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4725683	A	19880216	US 1985-765401	19850812
US 4550192	A	19851029	US 1983-528711	19830901
AU 8432306	A1	19860306	AU 1984-32306	19840823
AU 569540	B2	19880204		
ZA 8406674	A	19860430	ZA 1984-6674	19840827
CA 1248943	A1	19890117	CA 1984-462134	19840830
DK 8404187	A	19850302	DK 1984-4187	19840831
GB 2146022	A1	19850411	GB 1984-22059	19840831
GB 2146022	B2	19871223		
HU 35472	O	19850729	HU 1984-3298	19840831
HU 196689	B	19890130		
BR 8404371	A	19850730	BR 1984-4371	19840831
RO 89691	B3	19860730	RO 1984-115607	19840831
SU 1628841	A3	19910215	SU 1984-3785909	19840831
JP 60166638	A2	19850829	JP 1984-183612	19840901
JP 03039482	B4	19910614		
US 4808750	A	19890228	US 1986-885360	19860714
GB 2185014	A1	19870708	GB 1986-21934	19860911
GB 2185014	B2	19871223		
AU 8662745	A1	19870108	AU 1986-62745	19860916
AU 587499	B2	19890817		
CA 1257296	A2	19890711	CA 1988-556570	19880114
JP 01279856	A2	19891110	JP 1989-81643	19890403
JP 03246254	A2	19911101	JP 1990-266151	19901003
PRIORITY APPLN. INFO.:			US 1983-528711	19830901
			CA 1984-462134	19840830
			GB 1984-22059	19840831
			US 1985-765401	19850812
OTHER SOURCE(S):		CASREACT 111:133787; MARPAT 111:133787		
GI				

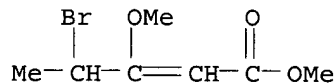


AB Title compds. I (R1 = Me; Z = CO<sub>2</sub>H; X = Cl, CF<sub>3</sub>, iodo, Br, F, OCF<sub>3</sub>, CF<sub>2</sub>Cl, CHF<sub>2</sub>, OCF<sub>2</sub>CCl<sub>2</sub>H), their derivs. such as amides, esters, and salts, or their analogs I [R1 = C1-3 alkyl; Z = groups which can be converted to carboxy moieties in plants, e.g. cyano, 5-(1 or 2H)-tetrazolyl, alkoxythiocarbonyl, CH<sub>2</sub>OC(S)NR<sub>2</sub>CN where R<sub>2</sub> = alkyl, (CH<sub>2</sub>)<sub>2</sub>CN, and (CH<sub>2</sub>)<sub>2</sub>CO<sub>2</sub>R<sub>2</sub>] are prepared Decomposition of 2,5-F(F<sub>3</sub>C)C<sub>6</sub>H<sub>3</sub>N<sub>2</sub>+BF<sub>4</sub>- (preparation given)  
 in 10% NaOH at 200°-220° gave 22.5% 3,4-F<sub>2</sub> C<sub>6</sub>H<sub>3</sub>CF<sub>3</sub>, which

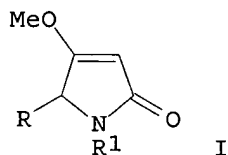


was treated with 4-HOC<sub>6</sub>H<sub>4</sub>OCHMeCO<sub>2</sub>Me in DMSO in the presence of K<sub>2</sub>CO<sub>3</sub> at 100-110° to afford 55.9% I (R<sub>1</sub> = Me; Z = CO<sub>2</sub>Me; X = F) (II). II at 7.8 ppm postemergence showed 100% control of Barnyard grass, crab grass, and yellow foxtail and at 125 ppm no effect on cotton, soybeans, sugar beets, etc.

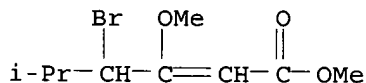
IT 82967-65-5, Methyl 4-bromo-3-methoxy-2-pentenoate  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, in preparation of fluorophenoxyphenoxypropionate herbicides)  
 RN 82967-65-5 CAPLUS  
 CN 2-Pentenoic acid, 4-bromo-3-methoxy-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1987:477457 CAPLUS  
 DOCUMENT NUMBER: 107:77457  
 TITLE: Synthesis of 5-substituted 4-O-methyl tetramates  
 AUTHOR(S): Jones, Raymond C. F.; Bates, Andrew D.  
 CORPORATE SOURCE: Dep. Chem., Univ. Nottingham, Nottingham, NG7 2RD, UK  
 SOURCE: Tetrahedron Letters (1986), 27(43), 5285-8  
 CODEN: TELEAY; ISSN: 0040-4039  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 107:77457  
 GI



AB The title compds. I [R = H, Me, CHMe<sub>2</sub>, CHMeCO<sub>2</sub>Et, CH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>Et, CH<sub>2</sub>CO<sub>2</sub>Et; R<sub>1</sub> = H, Me, SiMe<sub>2</sub>CMe<sub>3</sub>, Si(CHMe<sub>2</sub>)<sub>3</sub> 2,4-(MeO)<sub>2</sub>C<sub>6</sub>H<sub>3</sub>CH<sub>2</sub>, CH<sub>2</sub>Ph, octyl] were prepared by enol etherification and bromination of RCH<sub>2</sub>COCH<sub>2</sub>CO<sub>2</sub>Me (R = H, Me<sub>2</sub>CH) and cyclization of RCHBrC(OMe):CHCO<sub>2</sub>Me with R<sub>1</sub>NH<sub>2</sub> or by substitution on I (R = H) or I (R<sub>1</sub> = H).  
 IT 109826-80-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and attempted aminolysis of)  
 RN 109826-80-4 CAPLUS  
 CN 2-Hexenoic acid, 4-bromo-3-methoxy-5-methyl-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1986:181753 CAPLUS

DOCUMENT NUMBER: 104:181753

TITLE: 3-Alkoxy-4-substituted-phenoxy-2,3-unsaturated acid esters and derivatives and their use for the control of weeds

INVENTOR(S): Lee, Shy Fuh

PATENT ASSIGNEE(S): Zoecon Corp., USA

SOURCE: U.S., 16 pp. Cont.-in-part of U.S. Ser. No. 388,333, abandoned.

CODEN: USXXAM

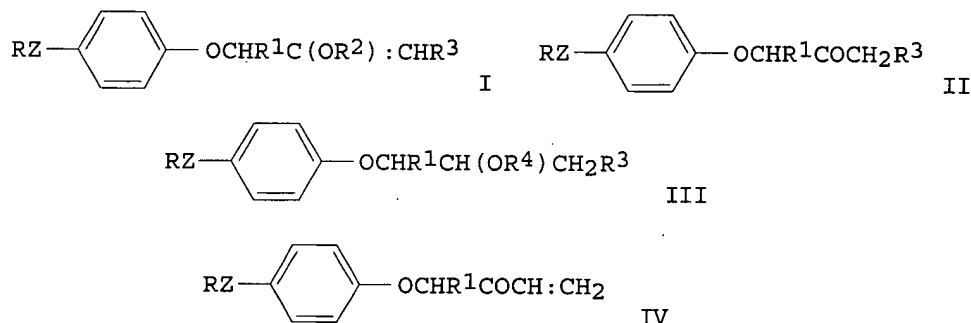
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4561882	A	19851231	US 1983-486750	19830420
US 4408076	A	19831004	US 1981-299413	19810904
ZA 8106717	A	19830126	ZA 1981-6717	19810928
US 4429167	A	19840131	US 1982-341736	19820122
US 4525205	A	19850625	US 1982-361161	19820323
US 4529438	A	19850716	US 1982-379609	19820519
PRIORITY APPLN. INFO.:			US 1980-196795	19801014
			US 1981-270938	19810605
			US 1981-299413	19810904
			US 1981-314639	19811026
			US 1982-341736	19820122
			US 1982-361161	19820323
			US 1982-379609	19820519
			US 1982-388333	19820614

OTHER SOURCE(S): CASREACT 104:181753  
GI

AB The title compds. I, II, III, and IV [R = (un)substituted Ph, pyridinyl, quinolin-2-yl or quinoxalin-2-yl; R<sup>1</sup> = H, alkyl; R<sup>2</sup> = alkyl; R<sup>3</sup> = CO<sub>2</sub>H, alkoxycarbonyl, alkylthiocarbonyl, N-substituted CONH, etc.; R<sup>4</sup> = H, acyl, phenacyl, etc.; Z = O, S, NH, CH<sub>2</sub>] are prepared as herbicides. Thus, Et 4-[4-(3-chloro-5-trifluoromethyl-2-pyridyloxy)phenoxy]-3-acetoxypionate (prepared by cetylation of the corresponding 3-hydroxy derivative), applied post-emergence, at 10 lb/acre, totally controlled green foxtail, barnyard grass, and other grasses.

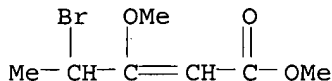
IT 82967-65-5

RL: BIOL (Biological study)

(condensation of, with (chlorotrifluoromethylphenoxy)phenol)

RN 82967-65-5 CAPLUS

CN 2-Pentenoic acid, 4-bromo-3-methoxy-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1986:88443 CAPLUS

DOCUMENT NUMBER: 104:88443

TITLE: [(Pyridyloxy)phenoxy]alkanoic acid esters and derivatives

INVENTOR(S): Lee, Shy Fuh

PATENT ASSIGNEE(S): Zoecon Corp., USA

SOURCE: U.S., 11 pp. Cont.-in-part of U.S. Ser. No. 361,161.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

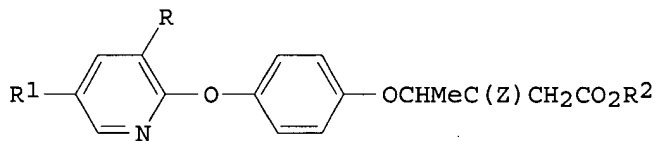
FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4529438	A	19850716	US 1982-379609	19820519
US 4408076	A	19831004	US 1981-299413	19810904
ZA 8106717	A	19830126	ZA 1981-6717	19810928
US 4429167	A	19840131	US 1982-341736	19820122
US 4525205	A	19850625	US 1982-361161	19820323
US 4561882	A	19851231	US 1983-486750	19830420
PRIORITY APPLN. INFO.:			US 1980-196795	19801014
			US 1981-270938	19810605
			US 1981-299413	19810904
			US 1982-341736	19820122
			US 1982-361161	19820323
			US 1981-314639	19811026
			US 1982-379609	19820519
			US 1982-388333	19820614

OTHER SOURCE(S): CASREACT 104:88443

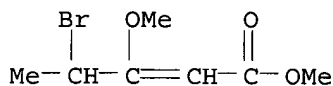
GI



I

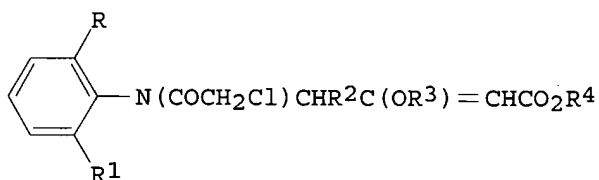
AB The title compds. I [R = H or Cl; R1 = Cl or CF3; R2 = alkyl; Z = O or (H,OH)] and phenoxyalkanoate analogs, useful for weed control, were prepared. Thus, Et 4-[4-[5-(trifluoromethyl)-2-pyridyloxy]phenoxy]-3-oxopentanoate was reduced with NaBH4 to give Et 4-[4-[5-(trifluoromethyl)-2-pyridyloxy]phenoxy]-3-hydroxypentanoate [I; R = H, R1 = CF3, R2 = Et, Z = (H,OH)] (II). In postemergence test 10 lb II/acre gave complete control

of grasses and 57% control of broadleaves.  
 IT 82967-65-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and phenoxylation of)  
 RN 82967-65-5 CAPLUS  
 CN 2-Pentenoic acid, 4-bromo-3-methoxy-, methyl ester (9CI) (CA INDEX NAME)

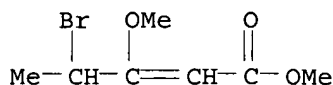


L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1985:422294 CAPLUS  
 DOCUMENT NUMBER: 103:22294  
 TITLE: 4-(2,6-Dialkylphenylamino)-3-alkoxy-2-butenic acids  
 and their use as herbicides  
 INVENTOR(S): Heather, James B.; Kanne, David B.  
 PATENT ASSIGNEE(S): Stauffer Chemical Co., USA  
 SOURCE: U.S., 5 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

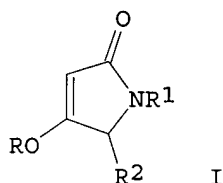
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4514215	A	19850430	US 1983-560621	19831212
PRIORITY APPLN. INFO.: GI			US 1983-560621	19831212



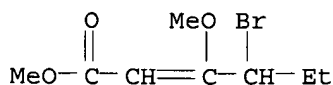
AB About 19 title compds. I (R = alkyl; R1 = C1-4 alkyl; R2 = H, Me; R3 = Me, Et; R4 = C1-4 alkyl), herbicides, were prepared Thus, heating 2,6-(Me2CH)MeC6H3NHCOCH2Cl and BrCH2C(OMe):CHCO2Me in KOH/acetone gave 66% I (R = CHMe2, R1 = R3 = R4 = Me, R2 = H) (II). At 4.48 kg/ha, II gave 90% injury to watergrass.  
 IT 82967-65-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with (chloroacetyl)aniline derivative)  
 RN 82967-65-5 CAPLUS  
 CN 2-Pentenoic acid, 4-bromo-3-methoxy-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1984:490707 CAPLUS  
 DOCUMENT NUMBER: 101:90707  
 TITLE: Synthesis of 4-alkoxy-Δ<sup>3</sup>-pyrrolin-2-ones and tetramic acids  
 AUTHOR(S): Kochhar, Kanwarpal S.; Carson, Holly J.; Clouser, Kimberly A.; Elling, John W.; Gramens, Lauren A.; Parry, Judith L.; Sherman, Helayne L.; Braat, Kevin; Pinnick, Harold W.  
 CORPORATE SOURCE: Dep. Chem., Bucknell Univ., Lewisburg, PA, 17837, USA  
 SOURCE: Tetrahedron Letters (1984), 25(18), 1871-4  
 CODEN: TELEAY; ISSN: 0040-4039  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB The alkoxyrrolinones I (R = Me, Et; R<sub>1</sub> = H, Me, Et, PhCH<sub>2</sub>, Me<sub>3</sub>C; R<sub>2</sub> = H, Et) were prepared in 42-74% yields by cyclization of BrCHR<sub>2</sub>C(OR):CHCO<sub>2</sub>Me with R<sub>1</sub>NH<sub>2</sub>.  
 IT 91474-31-6  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (cyclization of, with amines, alkoxyrrolinones from)  
 RN 91474-31-6 CAPLUS  
 CN 2-Hexenoic acid, 4-bromo-3-methoxy-, methyl ester (9CI) (CA INDEX NAME)

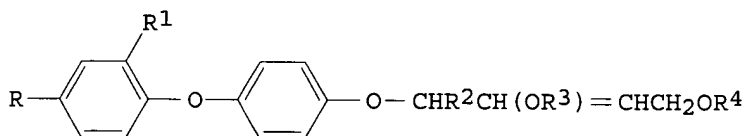


L4 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1984:191589 CAPLUS  
 DOCUMENT NUMBER: 100:191589  
 TITLE: 3-Alkoxy-4-substituted-phenoxy-2,3-unsaturated acids, esters and derivatives  
 INVENTOR(S): Lee, Shy Fuh  
 PATENT ASSIGNEE(S): Zoecon Corp., USA  
 SOURCE: U.S., 9 pp. Cont.-in-part of U.S. Ser. No. 299,413.  
 CODEN: USXXAM

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 6  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4429167	A	19840131	US 1982-341736	19820122
US 4408076	A	19831004	US 1981-299413	19810904
ZA 8106717	A	19830126	ZA 1981-6717	19810928
US 4525205	A	19850625	US 1982-361161	19820323
EP 85218	A1	19830810	EP 1982-301864	19820408
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4529438	A	19850716	US 1982-379609	19820519
US 4561882	A	19851231	US 1983-486750	19830420
PRIORITY APPLN. INFO.:			US 1980-196795	19801014
			US 1981-270938	19810605
			US 1981-299413	19810904
			US 1981-314639	19811026
			US 1982-341736	19820122
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			US 1982-379609	19820519
			US 1982-388333	19820614

OTHER SOURCE(S): CASREACT 100:191589  
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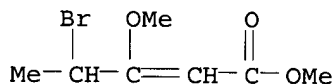
AB The title unsatd. compds. I (R, R1 = H, alkyl, alkoxycarbonyl, halomethyl, halomethoxy, NO2, cyano, Br, Cl, F; R2 = H, alkyl; R3 = alkyl; R4 = H, acyl) were prepared Thus, 4-[4-(F3C)C6H4O]C6H4OCHMeC(OMe):CHCO2Et in Et2O was treated with LiAlH4 at 0° to give I (R = F3C, R1 = R4 = H, R2 = R3 = Me) (II). In post-emergence tests 10 lb II/acre gave complete control of, e.g., green foxtail with little effect on soybeans.

IT 82967-65-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (alkylation by, of phenoxyphenols)

RN 82967-65-5 CAPLUS

CN 2-Pentenoic acid, 4-bromo-3-methoxy-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1982:527249 CAPLUS

DOCUMENT NUMBER: 97:127249

TITLE: 3-Keto-4-(4'-aromatically substituted-phenoxy)  
 compounds, their 3-alkylated enol and 2,3-hydrogenated  
 derivatives and their use for weed control

02/12/2004

INVENTOR(S): Lee, Shy Fuh  
 PATENT ASSIGNEE(S): Zoecon Corp. , USA  
 SOURCE: Eur. Pat. Appl., 37 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 6  
 PATENT INFORMATION:

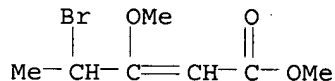
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 50019	A1	19820421	EP 1981-304703	19811009
EP 50019	B1	19860409		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4408076	A	19831004	US 1981-299413	19810904
ZA 8106717	A	19830126	ZA 1981-6717	19810928
AT 19056	E	19860415	AT 1981-304703	19811009
PRIORITY APPLN. INFO.:			US 1980-196795	19801014
			US 1981-270938	19810605
			US 1981-299413	19810904
			EP 1981-304703	19811009

AB p-RQC6H4OCHR1C(OR2):CHR3, p-RQC6H4OCHR1C(O)CH2R3, p-RQC6H4OCHR1CH(OH)CH2R3, and p-RQC6H4OCHR1C(O)CH:CH2 [R = (un)substituted Ph, 2-pyridyl, quinolinyl; Q = O, S, NH, CH2; R1 = H, lower alkyl; R2 = lower alkyl; R3 = CO2R4, C(O)SR4, CONR5R6, CH2X, CH2OR7 (R4 = H, (un)substituted alkyl; R5, R6 = H, (un)substituted alkyl; X = halo; R7 = H, acyl)], useful as herbicides, were prepared For example, reaction of 4-(2-chloro-4-trifluoromethylphenoxy)phenol with Et 4-bromo-3-methoxy-2-pentenoate in DMF in the presence of K2CO3 at 130° for 2 h gave Et 4-[4-(2-chloro-4-trifluoromethylphenoxy)phenoxy]-3-methoxy-2-pentenoate. The latter is treated with aqueous HClO4 to yield Et 4-[4-(2-chloro-4-trifluoromethylphenoxy)phenoxy]-3-oxopentanoate.

IT 82967-65-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with (chlorotrifluoromethylphenoxy)phenol)

RN 82967-65-5 CAPLUS

CN 2-Pentenoic acid, 4-bromo-3-methoxy-, methyl ester (9CI) (CA INDEX NAME)



=&gt; FIL REGISTRY

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

51.07

206.70

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

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STRUCTURE FILE UPDATES: 11 FEB 2004 HIGHEST RN 649538-27-2  
DICTIONARY FILE UPDATES: 11 FEB 2004 HIGHEST RN 649538-27-2

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

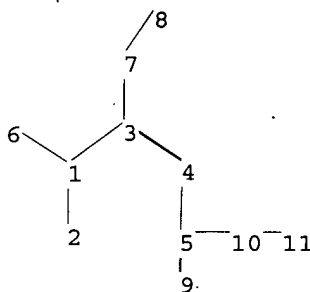
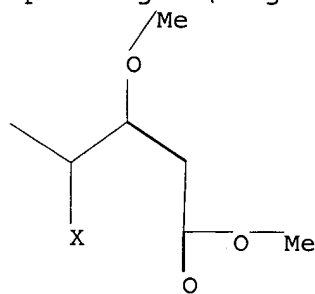
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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

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chain nodes :

1 2 3 4 5 6 7 8 9 10 11

chain bonds :

1-2 1-3 1-6 3-4 3-7 4-5 5-9 5-10 7-8 10-11

exact/norm bonds :

3-7 5-9 5-10

exact bonds :

1-2 1-3 1-6 3-4 4-5 7-8 10-11

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS  
10:CLASS 11:CLASS

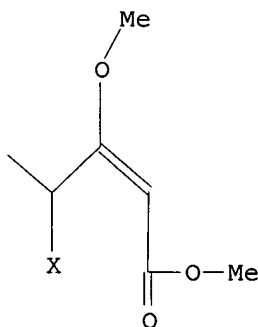
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L5 HAS NO ANSWERS

L5 STR





Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 33 TO ITERATE

100.0% PROCESSED 33 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 316 TO 1004  
PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=> s 15 sss full

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FULL SCREEN SEARCH COMPLETED - 752 TO ITERATE

100.0% PROCESSED 752 ITERATIONS 12 ANSWERS  
SEARCH TIME: 00.00.01

L7 12 SEA SSS FUL L5

=> FIL CAPLUS

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.42	362.12
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-6.93

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FILE COVERS 1907 - 12 Feb 2004 VOL 140 ISS 7  
FILE LAST UPDATED: 11 Feb 2004 (20040211/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 17

L8 14 L7

=> d 18 ibib abs hitstr tot

L8 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:977822 CAPLUS

DOCUMENT NUMBER: 138:55969

TITLE: Preparation of thiazolotriazole derivatives, intermediates thereof, and herbicides containing the derivatives as the active ingredient

INVENTOR(S): Yano, Tomoyuki; Yoshii, Tomoko; Ito, Hiroshi; Ueda, Takuya

PATENT ASSIGNEE(S): Kaken Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 120 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

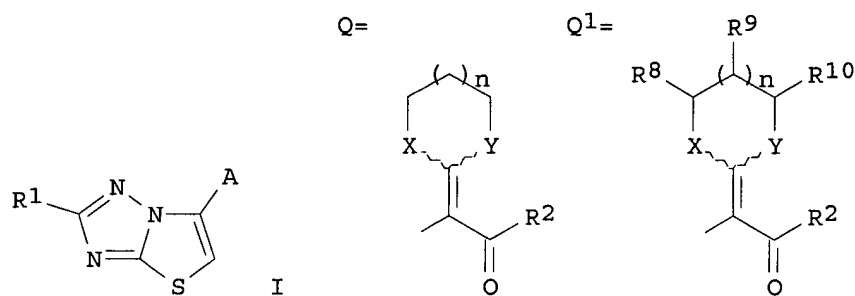
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002102809	A1	20021227	WO 2002-JP5778	20020611
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: JP 2001-178182 A 20010613

OTHER SOURCE(S): MARPAT 138:55969

GI



AB Thiazolotriazole derivs. represented by the general formula (I) or salts thereof [wherein R1 = H, halo, C1-6 alkyl or haloalkyl; A = Q, Q1 (wherein X = O, CHR3, NR4; Y = O, S; R2 = ZR5, NR6R7; n = 0-3; Z = O, S; R3 = H, halo; R4 = H, C1-4 alkyl; R5, R6, R7 = H, each optionally substituted C1-12 alkyl, C3-8 cycloalkyl, C3-12 alkenyl, C3-8 alkynyl, Ph, or C7-11 aralkyl; or R6 and R7 together with the attached N from a heterocyclyl group; R8, R9, R10 = H, C1-4 alkyl, halo)] are prepared Disclosed are herbicides containing the thiazolotriazole derivs. I or the salts as the active ingredients, in particular herbicides for rice paddy field. These thiazolotriazole derivs. exhibit excellent herbicidal activity against weeds without doing chemical damage to crops including rice plants, when applied even in a lowered dosage. Thus, a solution of 4.06 g (2-trifluoromethylthiazolo[3,2-b]triazol-5-yl)acetic acid amyl ester in 5 mL DMF was added to a suspension of 1.26 g (60 weight%, 31.5 mmol) in 15 mL DMF under Ar with ice-cooling and stirred for 15 min, followed by adding a solution of 1.5 mL 4-chlorobutyl chloride in 5 mL DMF, and the resulting mixture was stirred at 0° for 2 h to give (E)-(2-trifluoromethylthiazolo[3,2-b]triazol-5-yl)-2-(tetrahydrofuran-2-ylidene)acetic acid amyl ester (II). II at 100 g/ha postemergence controlled 100% Echinochloa crus-galli, Cyperus difformis, broad leaved weed, Monochoria vaginalis, and Scirpus juncoides in flooded paddy soil vs. 0.1-90.0% for Pyrazolynate and gave no damage to rice seedlings.

IT 479253-48-0P

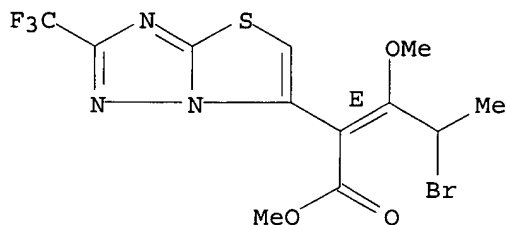
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiazolotriazole derivs. as herbicides for rice paddy)

RN 479253-48-0 CAPLUS

CN Thiazolo[3,2-b][1,2,4]triazole-6-acetic acid,  $\alpha$ -(2-bromo-1-methoxypropylidene)-2-(trifluoromethyl)-, methyl ester, ( $\alpha$ E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT:

15

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:780884 CAPLUS

DOCUMENT NUMBER: 135:331416

TITLE: Preparation of thiazolidinedione derivatives and intermediates

INVENTOR(S): Scalone, Michelangelo

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2

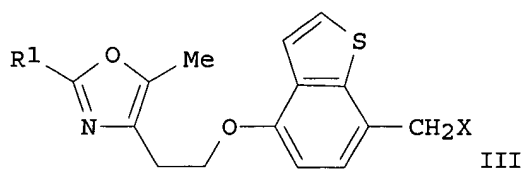
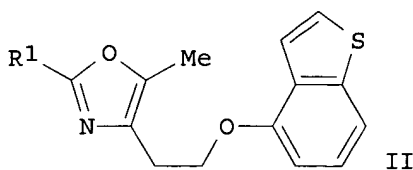
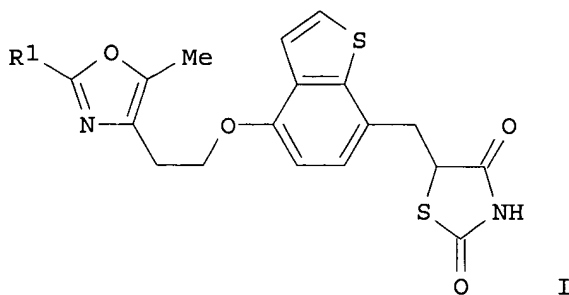
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001079202	A1	20011025	WO 2001-EP3802	20010404
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 2001049445	A1	20011206	US 2001-814907	20010322
US 6531609	B2	20030311		
EP 1282619	A1	20030212	EP 2001-931561	20010404
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2003531146	T2	20031021	JP 2001-576801	20010404
US 2003092916	A1	20030515	US 2002-288316	20021105
US 6620941	B2	20030916		
US 2004024222	A1	20040205	US 2003-634395	20030805
PRIORITY APPLN. INFO.:			EP 2000-108303	A 20000414
			US 2001-814907	A3 20010322
			WO 2001-EP3802	W 20010404
			US 2002-288316	A3 20021105
OTHER SOURCE(S):	CASREACT 135:331416; MARPAT 135:331416			
GI				



AB The title compds. [I; R1 = (hetero)aryl] and their corresponding salts, e.g., the sodium salts, which are pharmaceutically active substances in the treatment of diabetes (no biol. data) were prepared via bromomethylation or chloromethylation of II and subsequent reaction of III [X = Cl, Br] with 2,4-thiazolidinedione.

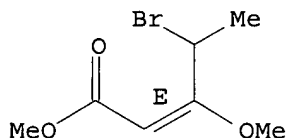
IT **369631-82-3P**

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of thiazolidinedione derivs. and intermediates)

RN 369631-82-3 CAPLUS

CN 2-Pentenoic acid, 4-bromo-3-methoxy-, methyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:268474 CAPLUS

DOCUMENT NUMBER: 128:321451

TITLE: Preparation of alkenecarboxylic acid derivatives as pesticides

INVENTOR(S): Muller, Urs

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Muller, Urs

SOURCE: PCT Int. Appl., 104 pp.

CODEN: PIXXD2

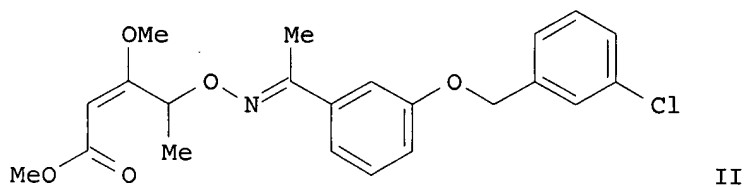
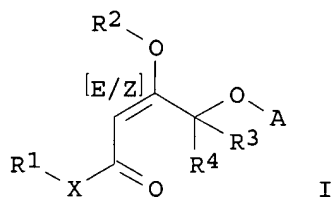
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9817631	A2	19980430	WO 1997-EP5857	19971023
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9868116	A1	19980515	AU 1998-68116	19971023
PRIORITY APPLN. INFO.:			CH 1996-2599	19961023
			WO 1997-EP5857	19971023
OTHER SOURCE(S):			MARPAT 128:321451	
GI				



AB The title compds. [I; R1 = H, C1-5 alkyl, C3-6 alkenyl, etc.; R2 = C1-5 alkyl, C1-3 alkoxy-C1-5 alkyl, C3-6 alkenyl, etc.; R3, R4 = H, C1-5 alkyl, C1-3 alkoxy-C1-5 alkyl; A = ketimino, aldimino; X = O, NH, NR9 (wherein R9 = H, C1-5 alkyl)] and their possible isomers and mixts. of isomers, having plant-protecting properties and are suitable for the protection of plants against infestation by phytopathogenic microorganisms, were prepared. Thus, treatment of 3-(3-chlorobenzoyloxy)acetophenone oxime with NaH in DMF followed by the addition of 4-chloro-3-methoxypent-2-enecarboxylic acid Me ester in DMF and KI afforded the title compound [E]-II. Compds. I showed a good action against, e.g., *Phytophthora infestans* on tomatoes.

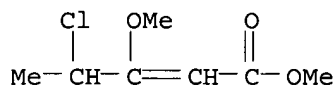
IT 206653-16-9P 206653-44-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of alkenecarboxylic acid derivs. as pesticides)

RN 206653-16-9 CAPLUS

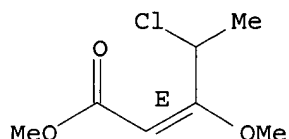
CN 2-Pentenoic acid, 4-chloro-3-methoxy-, methyl ester (9CI) (CA INDEX NAME)



RN 206653-44-3 CAPLUS

CN 2-Pentenoic acid, 4-chloro-3-methoxy-, methyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L8 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1989:533787 CAPLUS

DOCUMENT NUMBER: 111:133787

TITLE: 2-[4-(2-Fluorophenoxy)phenoxy]propionates and analogs thereof as herbicides

INVENTOR(S): Rogers, Richard B.; Gerwick, B. Clifford, III

PATENT ASSIGNEE(S): Dow Chemical Co., USA

SOURCE: U.S., 25 pp. Cont.-in-part of U.S. 4,550,192.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

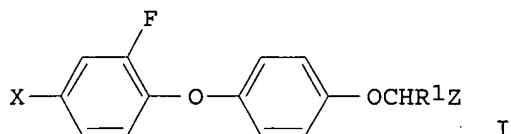
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4725683	A	19880216	US 1985-765401	19850812
US 4550192	A	19851029	US 1983-528711	19830901
AU 8432306	A1	19860306	AU 1984-32306	19840823
AU 569540	B2	19880204		
ZA 8406674	A	19860430	ZA 1984-6674	19840827
CA 1248943	A1	19890117	CA 1984-462134	19840830
DK 8404187	A	19850302	DK 1984-4187	19840831
GB 2146022	A1	19850411	GB 1984-22059	19840831
GB 2146022	B2	19871223		
HU 35472	O	19850729	HU 1984-3298	19840831
HU 196689	B	19890130		
BR 8404371	A	19850730	BR 1984-4371	19840831
RO 89691	B3	19860730	RO 1984-115607	19840831
SU 1628841	A3	19910215	SU 1984-3785909	19840831
JP 60166638	A2	19850829	JP 1984-183612	19840901
JP 03039482	B4	19910614		
US 4808750	A	19890228	US 1986-885360	19860714
GB 2185014	A1	19870708	GB 1986-21934	19860911
GB 2185014	B2	19871223		
AU 8662745	A1	19870108	AU 1986-62745	19860916
AU 587499	B2	19890817		
CA 1257296	A2	19890711	CA 1988-556570	19880114
JP 01279856	A2	19891110	JP 1989-81643	19890403
JP 03246254	A2	19911101	JP 1990-266151	19901003
PRIORITY APPLN. INFO.:			US 1983-528711	19830901

02/12/2004

CA 1984-462134 19840830  
 GB 1984-22059 19840831  
 US 1985-765401 19850812

OTHER SOURCE(S): CASREACT 111:133787; MARPAT 111:133787  
 GI



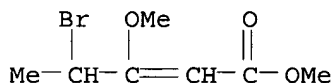
AB Title compds. I (R1 = Me; Z = CO2H; X = Cl, CF3, iodo, Br, F, OCF3, CF2Cl, CHF2, OCF2CCl2H), their derivs. such as amides, esters, and salts, or their analogs I [R1 = C1-3 alkyl; Z = groups which can be converted to carboxy moieties in plants, e.g. cyano, 5-(1 or 2H)-tetrazolyl, alkoxythiocarbonyl, CH2OC(S)NR2CN where R2 = alkyl, (CH2)2CN, and (CH2)2CO2R2] are prepared Decomposition of 2,5-F(F3C)C6H3N2+BF4- (preparation given)

in 10% NaOH at 200°-220° gave 22.5% 3,4-F2 C6H3CF3, which was treated with 4-HOC6H4OCHMeCO2Me in DMSO in the presence of K2CO3 at 100-110° to afford 55.9% I (R1 = Me; Z = CO2Me; X = F) (II). II at 7.8 ppm postemergence showed 100% control of Barnyard grass, crab grass, and yellow foxtail and at 125 ppm no effect on cotton, soybeans, sugar beets, etc.

IT 82967-65-5, Methyl 4-bromo-3-methoxy-2-pentenoate  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, in preparation of fluorophenoxyphenoxypropionate herbicides)

RN 82967-65-5 CAPLUS

CN 2-Pentenoic acid, 4-bromo-3-methoxy-, methyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1987:477457 CAPLUS

DOCUMENT NUMBER: 107:77457

TITLE: Synthesis of 5-substituted 4-O-methyl tetramates

AUTHOR(S): Jones, Raymond C. F.; Bates, Andrew D.

CORPORATE SOURCE: Dep. Chem., Univ. Nottingham, Nottingham, NG7 2RD, UK

SOURCE: Tetrahedron Letters (1986), 27(43), 5285-8

CODEN: TELEAY; ISSN: 0040-4039

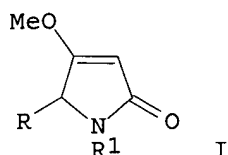
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 107:77457

GI





AB The title compds. I [R = H, Me, CHMe<sub>2</sub>, CHMeCO<sub>2</sub>Et, CH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>Et, CH<sub>2</sub>CO<sub>2</sub>Et; R<sub>1</sub> = H, Me, SiMe<sub>2</sub>CMe<sub>3</sub>, Si(CHMe<sub>2</sub>)<sub>3</sub>, 2,4-(MeO)<sub>2</sub>C<sub>6</sub>H<sub>3</sub>CH<sub>2</sub>, CH<sub>2</sub>Ph, octyl] were prepared by enol etherification and bromination of RCH<sub>2</sub>COCH<sub>2</sub>CO<sub>2</sub>Me (R = H, Me<sub>2</sub>CH) and cyclization of RCHBrC(OMe):CHCO<sub>2</sub>Me with R<sub>1</sub>NH<sub>2</sub> or by substitution on I (R = H) or I (R<sub>1</sub> = H).

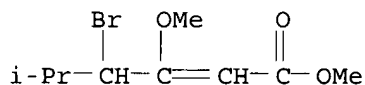
IT **109826-80-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and attempted aminolysis of)

RN 109826-80-4 CAPLUS

CN 2-Hexenoic acid, 4-bromo-3-methoxy-5-methyl-, methyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1986:181753 CAPLUS

DOCUMENT NUMBER: 104:181753

TITLE: 3-Alkoxy-4-substituted-phenoxy-2,3-unsaturated acid esters and derivatives and their use for the control of weeds

INVENTOR(S): Lee, Shy Fuh

PATENT ASSIGNEE(S): Zoecon Corp., USA

SOURCE: U.S., 16 pp. Cont.-in-part of U.S. Ser. No. 388,333, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4561882	A	19851231	US 1983-486750	19830420
US 4408076	A	19831004	US 1981-299413	19810904
ZA 8106717	A	19830126	ZA 1981-6717	19810928
US 4429167	A	19840131	US 1982-341736	19820122
US 4525205	A	19850625	US 1982-361161	19820323
US 4529438	A	19850716	US 1982-379609	19820519
PRIORITY APPLN. INFO.:			US 1980-196795	19801014
			US 1981-270938	19810605
			US 1981-299413	19810904
			US 1981-314639	19811026
			US 1982-341736	19820122
			US 1982-361161	19820323

02/12/2004

US 1982-379609

19820519

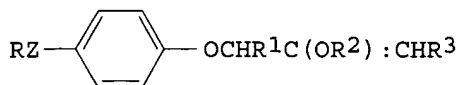
US 1982-388333

19820614

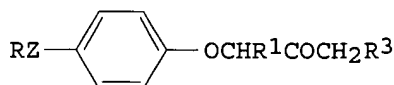
OTHER SOURCE(S) :

CASREACT 104:181753

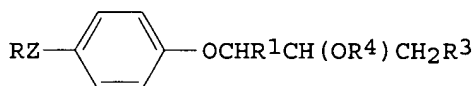
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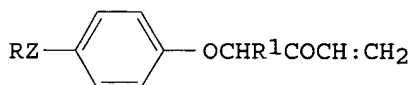
I



II



III



IV

AB The title compds. I, II, III, and IV [R = (un)substituted Ph, pyridinyl, quinolin-2-yl or quinoxalin-2-yl; R1 = H, alkyl; R2 = alkyl; R3 = CO2H, alkoxycarbonyl, alkylthiocarbonyl, N-substituted CONH, etc.; R4 = H, acyl, phenacyl, etc.; Z = O, S, NH, CH2] are prepared as herbicides. Thus, Et 4-[4-(3-chloro-5-trifluoromethyl-2-pyridyloxy)phenoxy]-3-acetoxypionate (prepared by cetylation of the corresponding 3-hydroxy derivative), applied post-emergence, at 10 lb/acre, totally controlled green foxtail, barnyard grass, and other grasses.

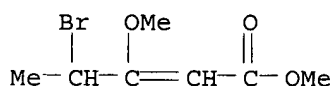
IT 82967-65-5

RL: BIOL (Biological study)

(condensation of, with (chlorotrifluoromethylphenoxy)phenol)

RN 82967-65-5 CAPLUS

CN 2-Pentenoic acid, 4-bromo-3-methoxy-, methyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1986:88443 CAPLUS

DOCUMENT NUMBER: 104:88443

TITLE: [(Pyridyloxy)phenoxy]alkanoic acid esters and derivatives

INVENTOR(S): Lee, Shy Fuh

PATENT ASSIGNEE(S): Zoecon Corp., USA

SOURCE: U.S., 11 pp. Cont.-in-part of U.S. Ser. No. 361,161.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

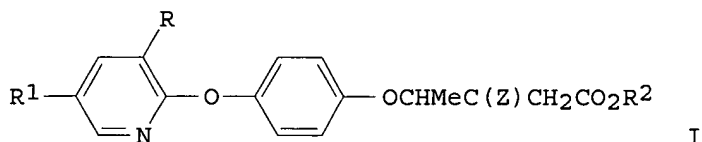
FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4529438	A	19850716	US 1982-379609	19820519
US 4408076	A	19831004	US 1981-299413	19810904
ZA 8106717	A	19830126	ZA 1981-6717	19810928

02/12/2004

US 4429167	A	19840131	US 1982-341736	19820122
US 4525205	A	19850625	US 1982-361161	19820323
US 4561882	A	19851231	US 1983-486750	19830420
PRIORITY APPLN. INFO.:			US 1980-196795	19801014
			US 1981-270938	19810605
			US 1981-299413	19810904
			US 1982-341736	19820122
			US 1982-361161	19820323
			US 1981-314639	19811026
			US 1982-379609	19820519
			US 1982-388333	19820614
OTHER SOURCE(S):			CASREACT 104:88443	
GI				

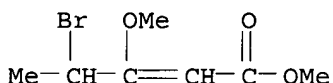


AB The title compds. I [R = H or Cl; R1 = Cl or CF3; R2 = alkyl; Z = O or (H,OH)] and phenoxyalkanoate analogs, useful for weed control, were prepared Thus, Et 4-[4-[5-(trifluoromethyl)-2-pyridyloxy]phenoxy]-3-oxopentanoate was reduced with NaBH4 to give Et 4-[4-[5-(trifluoromethyl)-2-pyridyloxy]phenoxy]-3-hydroxypentanoate [I; R = H, R1 = CF3, R2 = Et, Z = (H,OH)] (II). In postemergence test 10 lb II/acre gave complete control of grasses and 57% control of broadleaves.

IT **82967-65-5P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and phenoxylation of)

RN 82967-65-5 CAPLUS

CN 2-Pentenoic acid, 4-bromo-3-methoxy-, methyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1985:422294 CAPLUS

DOCUMENT NUMBER: 103:22294

TITLE: 4-(2,6-Dialkylphenylamino)-3-alkoxy-2-butenic acids and their use as herbicides

INVENTOR(S): Heather, James B.; Kanne, David B.

PATENT ASSIGNEE(S): Stauffer Chemical Co., USA

SOURCE: U.S., 5 pp.  
 CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

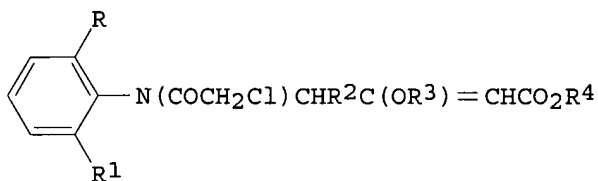
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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02/12/2004

US 4514215 A 19850430 US 1983-560621 19831212  
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 GI



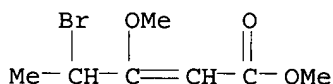
AB About 19 title compds. I (R = alkyl; R1 = C1-4 alkyl; R2 = H, Me; R3 = Me, Et; R4 = C1-4 alkyl), herbicides, were prepared Thus, heating 2,6-(Me2CH)MeC6H3NHCOCH2Cl and BrCH2C(OMe):CHCO2Me in KOH/acetone gave 66% I (R = CHMe2, R1 = R3 = R4 = Me, R2 = H) (II). At 4.48 kg/ha, II gave 90% injury to watergrass.

IT 82967-65-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with (chloroacetyl)aniline derivative)

RN 82967-65-5 CAPLUS

CN 2-Pentenoic acid, 4-bromo-3-methoxy-, methyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1984:490707 CAPLUS

DOCUMENT NUMBER: 101:90707

TITLE: Synthesis of 4-alkoxy-Δ3-pyrrolin-2-ones and tetramic acids

AUTHOR(S): Kochhar, Kanwarpal S.; Carson, Holly J.; Clouser, Kimberly A.; Elling, John W.; Gramens, Lauren A.; Parry, Judith L.; Sherman, Helayne L.; Braat, Kevin; Pinnick, Harold W.

CORPORATE SOURCE: Dep. Chem., Bucknell Univ., Lewisburg, PA, 17837, USA

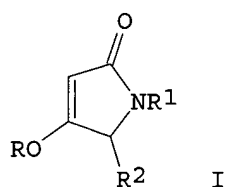
SOURCE: Tetrahedron Letters (1984), 25(18), 1871-4

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



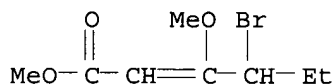
AB The alkoxyppyrrrolinones I (R = Me, Et; R1 = H, Me, Et, PhCH<sub>2</sub>, Me<sub>3</sub>C; R2 = H, Et) were prepared in 42-74% yields by cyclization of BrCHR<sub>2</sub>C(OR):CHCO<sub>2</sub>Me with R<sub>1</sub>NH<sub>2</sub>.

IT 91474-31-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
(cyclization of, with amines, alkoxyppyrrrolinones from)

RN 91474-31-6 CAPLUS

CN 2-Hexenoic acid, 4-bromo-3-methoxy-, methyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1984:191589 CAPLUS

DOCUMENT NUMBER: 100:191589

TITLE: 3-Alkoxy-4-substituted-phenoxy-2,3-unsaturated acids, esters and derivatives

INVENTOR(S): Lee, Shy Fuh

PATENT ASSIGNEE(S): Zoecon Corp., USA

SOURCE: U.S., 9 pp. Cont.-in-part of U.S. Ser. No. 299,413.  
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

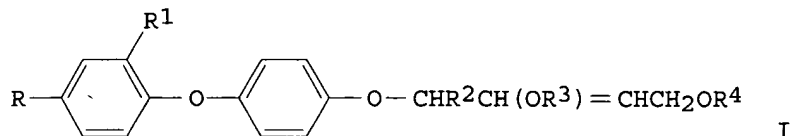
FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4429167	A	19840131	US 1982-341736	19820122
US 4408076	A	19831004	US 1981-299413	19810904
ZA 8106717	A	19830126	ZA 1981-6717	19810928
US 4525205	A	19850625	US 1982-361161	19820323
EP 85218	A1	19830810	EP 1982-301864	19820408
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4529438	A	19850716	US 1982-379609	19820519
US 4561882	A	19851231	US 1983-486750	19830420
PRIORITY APPLN. INFO.:			US 1980-196795	19801014
			US 1981-270938	19810605
			US 1981-299413	19810904
			US 1981-314639	19811026
			US 1982-341736	19820122
			US 1982-361161	19820323
			US 1982-379609	19820519
			US 1982-388333	19820614

OTHER SOURCE(S): CASREACT 100:191589

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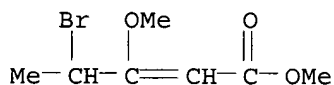
AB The title unsatd. compds. I (R, R1 = H, alkyl, alkoxy, carbonyl, halomethyl, halomethoxy, NO<sub>2</sub>, cyano, Br, Cl, F; R2 = H, alkyl; R3 = alkyl; R4 = H, acyl) were prepared. Thus, 4-[4-(F<sub>3</sub>C)C<sub>6</sub>H<sub>4</sub>O]C<sub>6</sub>H<sub>4</sub>OCHMeC(OMe):CHCO<sub>2</sub>Et in Et<sub>2</sub>O was treated with LiAlH<sub>4</sub> at 0° to give I (R = F<sub>3</sub>C, R1 = R4 = H, R2 = R3 = Me) (II). In post-emergence tests 10 lb II/acre gave complete control of, e.g., green foxtail with little effect on soybeans.

IT 82967-65-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
(alkylation by, of phenoxyphenols)

RN 82967-65-5 CAPLUS

CN 2-Pentenoic acid, 4-bromo-3-methoxy-, methyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1983:142919 CAPLUS

DOCUMENT NUMBER: 98:142919

TITLE: Haloacrylic acids. XIX. Synthetic transformations of dimethyl perfluoro-4-methyl-2-pentenedioate

AUTHOR(S): Svoboda, Jiri; Paleta, Oldrich; Dedek, Vaclav

CORPORATE SOURCE: Dep. Org. Chem., Prague Inst. Chem. Technol., Prague, 166 28/6, Czech.

SOURCE: Collection of Czechoslovak Chemical Communications (1982), 47(12), 3418-23

CODEN: CCCCAK; ISSN: 0366-547X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 98:142919

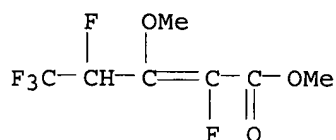
AB The photochem. reaction of MeO<sub>2</sub>CCF(CF<sub>3</sub>)CF:CFCO<sub>2</sub>Me (I) with Cl gave MeO<sub>2</sub>CCF(CF<sub>3</sub>)CFClCFClCO<sub>2</sub>Me (II), but MeOH did not add across the double bond of I. I was treated with NaOMe and MeOH to give CF<sub>3</sub>CHFC(OMe):CFCO<sub>2</sub>Me. The saponification of II yielded K<sup>+</sup> - O<sub>2</sub>CCF(CF<sub>3</sub>)CFClCFClCO<sub>2</sub>Me.

IT 85146-13-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 85146-13-0 CAPLUS

CN 2-Pentenoic acid, 2,4,5,5,5-pentafluoro-3-methoxy-, methyl ester (9CI)  
(CA INDEX NAME)



L8 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1982:527249 CAPLUS

DOCUMENT NUMBER: 97:127249

TITLE: 3-Keto-4-(4'-aromatically substituted-phenoxy)  
compounds, their 3-alkylated enol and 2,3-hydrogenated  
derivatives and their use for weed control

INVENTOR(S): Lee, Shy Fuh

PATENT ASSIGNEE(S): Zoecon Corp. , USA

SOURCE: Eur. Pat. Appl., 37 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 50019	A1	19820421	EP 1981-304703	19811009
EP 50019	B1	19860409		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4408076	A	19831004	US 1981-299413	19810904
ZA 8106717	A	19830126	ZA 1981-6717	19810928
AT 19056	E	19860415	AT 1981-304703	19811009
PRIORITY APPLN. INFO.:			US 1980-196795	19801014
			US 1981-270938	19810605
			US 1981-299413	19810904
			EP 1981-304703	19811009

AB p-RQC6H4OCHR1C(OR2):CHR3, p-RQC6H4OCHR1C(O)CH2R3, p-RQC6H4OCHR1CH(OH)CH2R3, and p-RQC6H4OCHR1C(O)CH:CH2 [R = (un)substituted Ph, 2-pyridyl, quinolinyl; Q = O, S, NH, CH2; R1 = H, lower alkyl; R2 = lower alkyl; R3 = CO2R4, C(O)SR4, CONR5R6, CH2X, CH2OR7 (R4 = H, (un)substituted alkyl; R5, R6 = H, (un)substituted alkyl; X = halo; R7 = H, acyl)], useful as herbicides, were prepared For example, reaction of 4-(2-chloro-4-trifluoromethylphenoxy)phenol with Et 4-bromo-3-methoxy-2-pentenoate in DMF in the presence of K2CO3 at 130° for 2 h gave Et 4-[4-(2-chloro-4-trifluoromethylphenoxy)phenoxy]-3-methoxy-2-pentenoate. The latter is treated with aqueous HClO4 to yield Et 4-[4-(2-chloro-4-trifluoromethylphenoxy)phenoxy]-3-oxopentanoate.

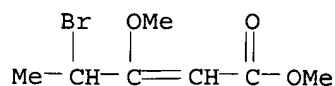
IT 82967-65-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with (chlorotrifluoromethylphenoxy)phenol)

RN 82967-65-5 CAPLUS

CN 2-Pentenoic acid, 4-bromo-3-methoxy-, methyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1981:65061 CAPLUS

DOCUMENT NUMBER: 94:65061

TITLE: Fluoroketenes. 11. Synthesis and chemistry of a perfluoroacylketene and related compounds containing a perfluoroisopropyl sulfide group

AUTHOR(S): England, David C.

CORPORATE SOURCE: Cent. Res. Dev. Dep., E. I. du Pont de Nemours and Co., Wilmington, DE, 19898, USA

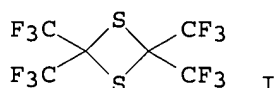
SOURCE: Journal of Organic Chemistry (1981), 46(1), 153-7  
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 94:65061

GI



AB The dimer (I) of hexafluorothioacetone and (F3C)2CFSC(CF3):CFCF(CF3)2 (II) were prepared in good yield from hexafluoropropene (III) and S in standard laboratory

equipment slightly below atmospheric pressure. II is structurally similar to a dimer of III from which a vinyl ketone and an acylketene were prepared Preparation of the related vinyl ketone (F3C)2CFSC(:CF2)COCF(CF3)2 and acylketene (F3C)2CFSC(:C:O)COCF(CF3)2 (IV) containing the perfluoroisopropyl sulfide group are reported here as well as some chemical of IV. This chemical is analogous to that of a previously prepared acylketene F3CC(:C:O)COC2F5 in its reactions with H2O, BzNH2, and HN3 in Diels-Alder addition reactions to dienophiles containing C:C, C.tplbond.C, C:, C.tplbond.N, and C:O unsatn. and in electrophilic substitution reactions with aromatic compds. However, different behavior was observed in reactions involving fluoride ion, DMF, Me2Nac, and (Me2N)2CO.

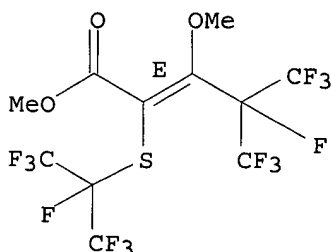
IT 75781-89-4P 75782-20-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with sulfur trioxide)

RN 75781-89-4 CAPLUS

CN 2-Pentenoic acid, 4,5,5,5-tetrafluoro-3-methoxy-2-[[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]thio]-4-(trifluoromethyl)-, methyl ester, (E)-  
(9CI) (CA INDEX NAME)

Double bond geometry as shown.



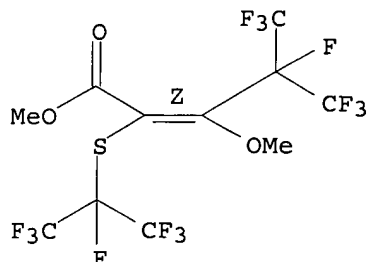
RN 75782-20-6 CAPLUS

10634395



CN 2-Pentenoic acid, 4,5,5,5-tetrafluoro-3-methoxy-2-[[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]thio]-4-(trifluoromethyl)-, methyl ester, (Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



L8 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1979:456370 CAPLUS

DOCUMENT NUMBER: 91:56370

TITLE: 1-Hydroperfluoroalken-(1)-yl carboxylic acid derivatives and their enol ethers and enol thioethers

INVENTOR(S): Bathelt, Heinrich

PATENT ASSIGNEE(S): Hoechst A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 20 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2742685	A1	19790405	DE 1977-2742685	19770922
PRIORITY APPLN. INFO.:			DE 1977-2742685	19770922

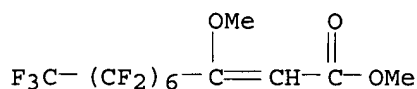
AB RC(ZR1):CHCO2R3 [R = C1-11 perfluoroalkyl; R1 = alkyl, F3C(CF2)a(CH2)b (a is an integer of 0-16, b is an integer of 1-4), Ph, (CH2CH2O)nR2, (CH2CHMeO)nR2, (CHMeCH2O)nR2 (n = 1-50; R2 = H, alkyl); R3 = H, NH4+, alkali metal, alkyl; Z = O, S] and RCF:CHCO2R3 (R and R3 the same as above) were prepared Thus, dropping a 30% NaOMe solution into C8F17CH2CO2Me in MeOH over 20 min with ice cooling and stirring the mixture 1 h at room temperature gave 94.2% C7F15C(OMe):CHCO2Me. Et3N was added to boiling C8F17CH2CO2Me in CCl2FCF2Cl over 10 min and the mixture was refluxed 10 h to give 95% C7F15CF:CHCO2Me which was mixed with EtSH in CCl4. Treating this solution with Et3N at room temperature and refluxing the mixture 8 h gave 91% C7F15C(SET):CHCO2Me.

IT 70887-76-2P 70887-77-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

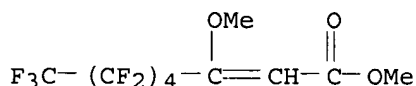
RN 70887-76-2 CAPLUS

CN 2-Decenoic acid, 4,4,5,5,6,6,7,7,8,8,9,9,10,10,10-pentadecafluoro-3-methoxy-, methyl ester (9CI) (CA INDEX NAME)



RN 70887-77-3 CAPLUS

CN 2-Octenoic acid, 4,4,5,5,6,6,7,7,8,8,8-undecafluoro-3-methoxy-, methyl ester (9CI) (CA INDEX NAME)



=&gt; FIL REGISTRY

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

70.10

432.22

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-9.70

-16.63

FILE 'REGISTRY' ENTERED AT 09:22:48 ON 12 FEB 2004

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Property values tagged with IC are from the ZIC/VINITI data file  
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STRUCTURE FILE UPDATES: 11 FEB 2004 HIGHEST RN 649538-27-2

DICTIONARY FILE UPDATES: 11 FEB 2004 HIGHEST RN 649538-27-2

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

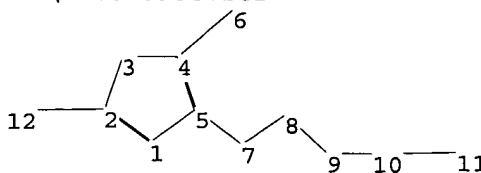
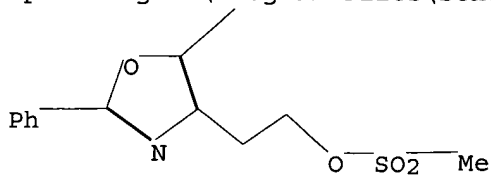
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=&gt;

Uploading C:\Program Files\Stnexp\Queries\10634395c.str



10634395

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ring nodes :  
1 2 3 4 5  
chain bonds :  
2-12 4-6 5-7 7-8 8-9 9-10 10-11  
ring bonds :  
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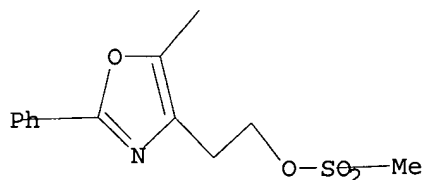
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10:CLASS 11:CLASS 12:CLASS

L9 STRUCTURE UPLOADED

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L9 HAS NO ANSWERS

L9 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 09:23:11 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 6 TO ITERATE

100.0% PROCESSED 6 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 6 TO 266

PROJECTED ANSWERS: 0 TO 0

L10 0 SEA SSS SAM L9

=> s l9 sss full

FULL SEARCH INITIATED 09:23:18 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 86 TO ITERATE

100.0% PROCESSED 86 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

10634395

L11 2 SEA SSS FUL L9

=&gt; FIL CAPLUS

COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
155.42	587.64

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

SINCE FILE	TOTAL
ENTRY	SESSION
0.00	-16.63

FILE 'CAPLUS' ENTERED AT 09:23:29 ON 12 FEB 2004

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FILE COVERS 1907 - 12 Feb 2004 VOL 140 ISS 7

FILE LAST UPDATED: 11 Feb 2004 (20040211/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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(FILE 'HOME' ENTERED AT 09:11:53 ON 12 FEB 2004)

FILE 'REGISTRY' ENTERED AT 09:12:23 ON 12 FEB 2004

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L2	0 S L1
L3	5 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:12:49 ON 12 FEB 2004

L4	10 S L3
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FILE 'REGISTRY' ENTERED AT 09:17:40 ON 12 FEB 2004

L5	STRUCTURE UPLOADED
L6	0 S L5
L7	12 S L5 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:18:12 ON 12 FEB 2004

L8	14 S L7
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FILE 'REGISTRY' ENTERED AT 09:22:48 ON 12 FEB 2004

L9	STRUCTURE UPLOADED
L10	0 S L9
L11	2 S L9 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:23:29 ON 12 FEB 2004

10634395

=> s l11  
L12

20 L11

=> s l9

**REGISTRY INITIATED**

Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 09:24:06 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 6 TO ITERATE

100.0% PROCESSED 6 ITERATIONS  
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 6 TO 266  
PROJECTED ANSWERS: 0 TO 0

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L14 0 L13

=> s l11/p

L15 14 L11/P

=> s l15 and bromin?

103827 BROMIN?

L16 0 L15 AND BROMIN?

=> s l15 and brominating

2325 BROMINATING

L17 0 L15 AND BROMINATING

=> d his

(FILE 'HOME' ENTERED AT 09:11:53 ON 12 FEB 2004)

FILE 'REGISTRY' ENTERED AT 09:12:23 ON 12 FEB 2004

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 5 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:12:49 ON 12 FEB 2004

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FILE 'REGISTRY' ENTERED AT 09:17:40 ON 12 FEB 2004

L5 STRUCTURE UPLOADED

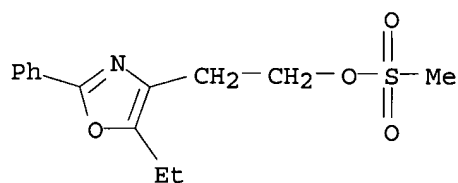
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L7 12 S L5 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:18:12 ON 12 FEB 2004

L8 14 S L7

10634395



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:640857 CAPLUS

DOCUMENT NUMBER: 131:243264

TITLE: Process for producing isooxazolidinedione compound from aspartic acid β-methyl ester

INVENTOR(S): Ando, Koji; Suzuki, Masanobu

PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9950267	A1	19991007	WO 1999-JP1434	19990319
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RW:		GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		
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RU 2180663	C2	20020320	RU 1999-128102	19990319
CA 2291620	C	20031007	CA 1999-2291620	19990319
CN 1130361	B	20031210	CN 1999-800858	19990319
EP 1375499	A1	20040102	EP 2003-20537	19990319
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EP 1384722	A1	20040128	EP 2003-20538	19990319
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JP 3163295	B2	20010508		
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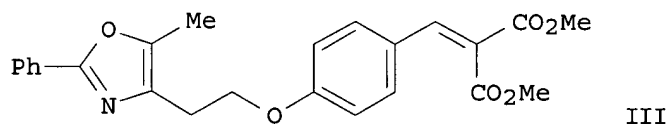
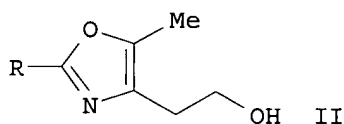
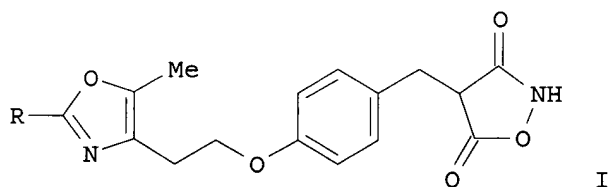
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JP 3258993	B2	20020218		
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PRIORITY APPLN. INFO.:

JP 1998-104098	A	19980330
EP 1999-909293	A3	19990319
WO 1999-JP1434	W	19990319
JP 1999-84792	A3	19990326
US 1999-424711	A3	19991129
US 2001-839215	A3	20010423

OTHER SOURCE(S): CASREACT 131:243264; MARPAT 131:243264

GI



AB Disclosed is a process for producing an isooxazolidinedione compound (I; wherein R is an optionally substituted aromatic hydrocarbon group, optionally substituted alicyclic hydrocarbon group, optionally substituted heterocyclic group, or optionally substituted fused heterocyclic group), which is useful as an diabetic remedy (no data). The process, which is industrially utilizable, comprises using  $\beta$ -Me L-aspartate as a starting compound to produce the target compound I in a high yield via an oxazole compound (II; wherein R is the same as the above) which is an important intermediate. Thus,  $\beta$ -Me L-aspartate was acylated by benzoyl chloride in aqueous  $\text{Na}_2\text{CO}_3$  at 5-18° for 3 h 20 min to give N-benzoyl-L-aspartic acid  $\beta$ -Me ester. The latter compound was heated with Ac<sub>2</sub>O and N-morpholine in PhMe at 55-60° for 4 h to give a

toluene solution of Me 3-benzoylamino-4-oxopentanoate which was treated with p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>3</sub>H.H<sub>2</sub>O at 85-90° for 5 h to give 97.7% Me 2-(5-methyl-2-phenyl-4-oxazolyl)acetate. A suspension of the latter ester and NaBH<sub>4</sub> in THF was heated at 60° with stirring and treated dropwise with MeOH over 1 h to give 89.7% II (R = Ph) which was mesylated by methanesulfonyl chloride in the presence of Et<sub>3</sub>N in toluene under ice-cooling for 1 h to give 100% 2-(5-methyl-2-phenyl-4-oxazolyl)ethyl methanesulfonate. The latter mesylate was condensed with di-Me 2-(4-hydroxybenzylidene)malonate in the presence of Bu<sub>4</sub>NBr and K<sub>2</sub>CO<sub>3</sub> in toluene at 110° for 6 h to give 85.0% precursor (III) which was hydrogenated over 5% Pd-C in THF and cyclocondensed with hydroxylamine in MeOH in the presence of K<sub>2</sub>CO<sub>3</sub> at room temperature for 6 h to give 80% I (R = Ph).

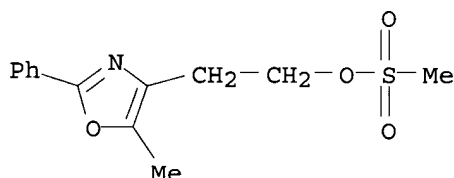
IT 227029-27-8P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(process for producing antidiabetic isooxazolidinedione compound from aspartic acid β-Me ester)

RN 227029-27-8 CAPLUS

CN 4-Oxazoleethanol, 5-methyl-2-phenyl-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

75.48

663.98

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-9.70

-26.33

STN INTERNATIONAL LOGOFF AT 09:31:11 ON 12 FEB 2004



FILE 'REGISTRY' ENTERED AT 09:22:48 ON 12 FEB 2004

L9               STRUCTURE UPLOADED  
L10             0 S L9  
L11             2 S L9 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:23:29 ON 12 FEB 2004

L12             20 S L11  
                S L9

FILE 'REGISTRY' ENTERED AT 09:24:06 ON 12 FEB 2004

L13             0 S L9

FILE 'CAPLUS' ENTERED AT 09:24:07 ON 12 FEB 2004

L14             0 S L13  
L15             14 S L11/P  
~~L16             0 S L15 AND BROMIN?~~  
L17             0 S L15 AND BROMINATING

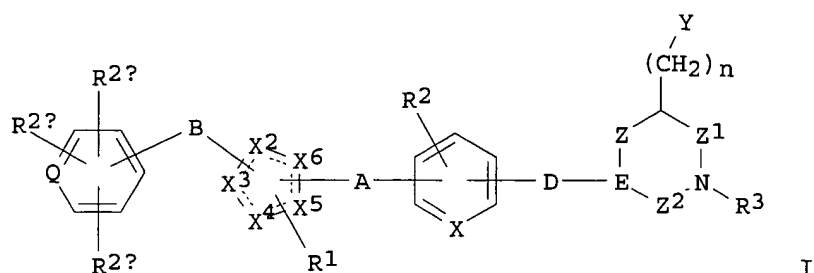
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L15 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:41231 CAPLUS  
TITLE: Preparation of substituted heterocyclic derivatives  
          useful as antidiabetic and antiobesity agents  
INVENTOR(S): Cheng, Peter T. W.; Chen, Sean; Devasthale, Pratik;  
              Ding, Charles Z.; Herpin, Timothy F.; Wu, Shung;  
              Zhang, Hao; Wang, Wei; Ye, Xiang-Yang  
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA  
SOURCE: PCT Int. Appl., 543 pp.  
          CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004004665	A2	20040115	WO 2003-US22149	20030702
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

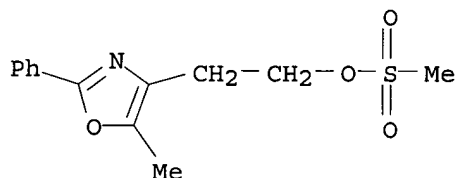
PRIORITY APPLN. INFO.: US 2002-394508P P 20020709  
GI



AB The title compds. (I) [Z1 = (CH<sub>2</sub>)<sub>q</sub>, CO; Z2 = (CH<sub>2</sub>)<sub>p</sub>, CO; D = CH, CO, (CH<sub>2</sub>)<sub>m</sub> (where m = 0-3; p = 1, 2; q = 0-2); n = 0-2; Q = C, N; A = (CH<sub>2</sub>)<sub>x</sub> (where x = 1-5); A = (CH<sub>2</sub>)<sub>x1</sub> (where x1 = 1-5) with an alkenyl bond or an alkynyl bond embedded anywhere in the chain; or A = -(CH<sub>2</sub>)<sub>x2</sub>-O-(CH<sub>2</sub>)<sub>x3</sub>- (where X2, X3 = 0 to 5, provided that at least one of x2 and x3 is other than 0); B = a bond or (CH<sub>2</sub>)<sub>x4</sub> (where x4 = 1-5); X = CH, N; X2-X6 = C, N, O, or S and at least one of X2-X6 is C; R1 = H, alkyl; R2 = H, alkyl, alkoxy, halogen, (un)substituted amino; R2a, R2b, R2c = H, alkyl, alkoxy, halogen, (un)substituted amino, cyano; R3 = H, alkyl, arylalkyl, aryloxy, carbonyl, alkyloxy, carbonyl, alkynoxy, carbonyl, alkenoxy, carbonyl, aryl, carbonyl, alkyl, carbonyl, aryl, heteroaryl, cycloheteroalkyl, etc.; E = CH, N; Z = (CH<sub>2</sub>)<sub>x5</sub> (where x5 is 0, i.e. a single or a double bond, 1, 2), or Z is (CH<sub>2</sub>)<sub>x6</sub> (where x6 = 2-5), where (CH<sub>2</sub>)<sub>x6</sub> includes an alkenyl (C:C) bond embedded within the chain or Z = -(CH<sub>2</sub>)<sub>x7</sub>-O-(CH<sub>2</sub>)<sub>x8</sub>- (where x7, x8 = 0-4); (CH<sub>2</sub>)<sub>x</sub> to (CH<sub>2</sub>)<sub>x8</sub>, (CH<sub>2</sub>)<sub>m</sub>, (CH<sub>2</sub>)<sub>n</sub>, (CH<sub>2</sub>)<sub>p</sub> and (CH<sub>2</sub>)<sub>q</sub> may be optionally substituted; Y = CO<sub>2</sub>R<sub>4</sub> (where R<sub>4</sub> = H, alkyl, or a prodrug ester), or Y = a C-linked 1-tetrazole, a phosphinic acid of the structure P(O)(OR<sub>4a</sub>)R<sub>5</sub> [where R<sub>4a</sub> = H, a prodrug ester; R<sub>5</sub> = alkyl or aryl, or a phosphonic acid of the structure P(O)(OR<sub>4a</sub>)<sub>2</sub>] including all stereoisomers, prodrug esters, and pharmaceutically acceptable salts thereof are prepared. These compds., e.g. cis-1-ethoxycarbonyl-4-[3-[2-(2-phenyl-5-methyloxazol-4-yl)ethoxy]phenyl]pyrrolidin-3-ylacetic acid and cis-1-(6-trifluoromethylpyrimidin-2-yl)-4-[3-[2-(2-phenyl-5-methyloxazol-4-yl)ethoxy]phenyl]pyrrolidine-3-carboxylic acid, modulate serum levels of blood glucose, triglyceride, insulin, and nonesterified fatty acid (NEFA) levels, and thus are particularly useful in the treatment of diabetes and obesity, especially Type 2 diabetes, as well as hyperglycemia, hyperinsulinemia,

hyperlipidemia, obesity, atherosclerosis, and related diseases employing such substituted acid derivs. alone or in combination with another antidiabetic agent and/or a hypolipidemic agent and/or other therapeutic agents. Disclosed is a method for treating diabetes, especially Type 2 diabetes, and related diseases such as insulin resistance, hyperglycemia, hyperinsulinemia, elevated blood levels of fatty acids or glycerol, hyperlipidemia, obesity, hypertriglyceridemia, inflammation, Syndrome X, diabetic complications, dysmetabolic syndrome, atherosclerosis, and related diseases, which comprises administering to a patient in need of treatment a therapeutically effective amount of the compound I. Also disclosed is a method for treating early malignant lesions (such as ductal carcinoma in situ of the breast and lobular carcinoma in situ of the breast), premalignant lesions including fibroadenoma of the breast and prostatic intraepithelial neoplasia (PIN), liposarcomas and various other epithelial tumors (including breast, prostate, colon, ovarian, gastric and lung), irritable bowel syndrome, Crohn's disease, gastric ulceritis, and osteoporosis and proliferative diseases such as psoriasis, which comprises administering to a patient in need of treatment a therapeutically

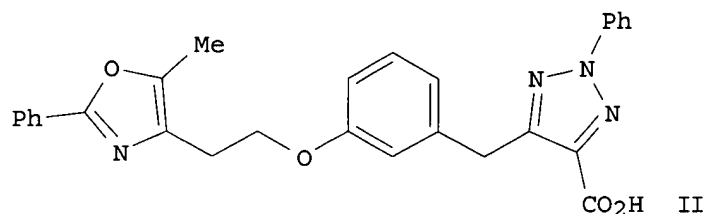
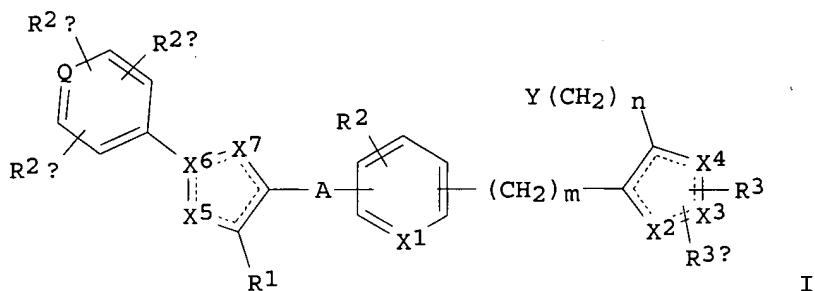
effective amount of the compound I.  
IT 227029-27-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of substituted heterocyclic derivs. as antidiabetic and  
antiobesity agents)  
RN 227029-27-8 CAPLUS  
CN 4-Oxazoleethanol, 5-methyl-2-phenyl-, methanesulfonate (ester) (9CI) (CA  
INDEX NAME)



L15 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2003:656421 CAPLUS  
DOCUMENT NUMBER: 139:197489  
TITLE: Preparation of azolecarboxylic acids useful as  
antidiabetic and antiobesity agents  
INVENTOR(S): Cheng, Peter T.; Zhang, Hao; Hariharan, Narayanan  
PATENT ASSIGNEE(S): USA  
SOURCE: U.S. Pat. Appl. Publ., 81 pp., Cont.-in-part of U.S.  
Ser. No. 153,454.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003158232	A1	20030821	US 2002-294525	20021114
US 2003092736	A1	20030515	US 2002-153454	20020522
PRIORITY APPLN. INFO.:			US 2001-294380P P	20010530
			US 2002-153454 A2	20020522

OTHER SOURCE(S): MARPAT 139:197489  
GI



AB Title compds. [I; m, n = 0-2; Q = C, N; A = (CH<sub>2</sub>)<sub>x</sub>, (CH<sub>2</sub>)<sub>x1</sub>, (CH<sub>2</sub>)<sub>x2</sub>(CH<sub>2</sub>)<sub>x3</sub>; x = 1-5; x<sub>1</sub> = 2-5; x<sub>2</sub>, x<sub>3</sub> = 0-5; ≥1 of x<sub>2</sub>, x<sub>3</sub> ≠ 0; X<sub>1</sub> = CH, N; X<sub>2</sub>, X<sub>3</sub>, X<sub>4</sub>, X<sub>5</sub>, X<sub>7</sub> = C, N, O, S; in each of X<sub>1</sub>-X<sub>7</sub>, C may include CH; R<sub>1</sub> = H, alkyl; R<sub>2</sub> = H, alkyl, alkoxy, halo, (substituted) amino; R<sub>2a</sub>, R<sub>2b</sub> and R<sub>2c</sub> = H, alkyl, alkoxy, halo, (substituted) amino; R<sub>3</sub>, R<sub>3a</sub> = H, alkyl, arylalkyl, aryloxycarbonyl, alkylloxycarbonyl, alkynylloxycarbonyl, alkenylloxycarbonyl, arylcarbonyl, etc.; Y = CO<sub>2</sub>R<sub>4</sub>, 1-tetrazolyl, P(O)(OR<sub>4a</sub>)R<sub>5</sub>, P(O)(OR<sub>4a</sub>)<sub>2</sub>; R<sub>4</sub> = H, alkyl, prodrug ester; R<sub>4a</sub> = H, prodrug ester; R<sub>5</sub> = alkyl, aryl; with provisos], were prepared as simultaneous inhibitors of peroxisome proliferator activated receptor-γ (PPAR<sub>γ</sub>) and stimulators of peroxisome proliferator activated receptor-α (PPAR<sub>α</sub>). Thus, title compound (II) (prepared starting from Meldrum's acid 3-methoxyphenylacetyl chloride) bound to human PPAR<sub>α</sub> and to PPAR<sub>γ</sub> ligand binding domains with IC<sub>50</sub> = 69 nM.

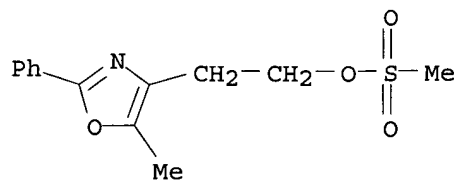
IT 227029-27-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

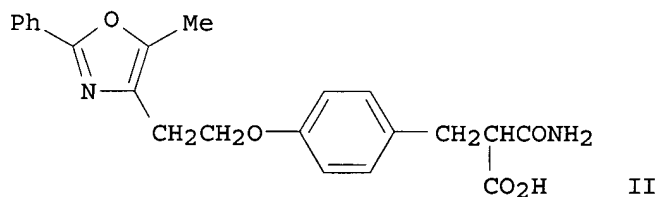
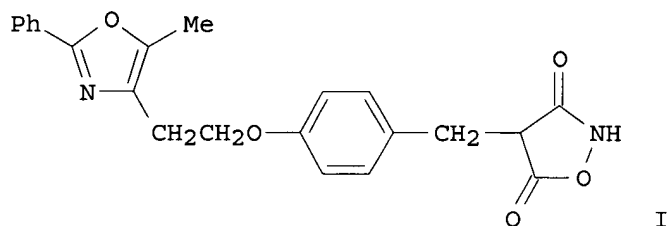
(prepn of azolecarboxylic acids useful as antidiabetic and antiobesity agents)

RN 227029-27-8 CAPLUS

CN 4-Oxazoleethanol, 5-methyl-2-phenyl-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 2003:526945 CAPLUS  
 DOCUMENT NUMBER: 139:337909  
 TITLE: Synthesis of JTT-501 and its metabolite JTP-20604 labeled with  $^{13}\text{C}$   
 AUTHOR(S): Pignatti, A.; Giribone, D.; Felicini, C.; Fontana, E.  
 CORPORATE SOURCE: Global Drug Metabolism, Pharmacia, Nerviano, 20014, Italy  
 SOURCE: Journal of Labelled Compounds & Radiopharmaceuticals (2003), 46(7), 605-611  
 CODEN: JLCRD4; ISSN: 0362-4803  
 PUBLISHER: John Wiley & Sons Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB  $^{13}\text{C}$ -labeled JTT-501 (I, Ph ring completely labeled with  $^{13}\text{C}$ ) was obtained via a four-step synthesis at an isotopic enrichment level of 99% and in 14% overall chemical yield starting from 4-hydroxy-[ring- $^{13}\text{C}_6$ ]benzaldehyde. Hydrogenation of [ $^{13}\text{C}_6$ ]JTT-501 over Pd/C gave [ $^{13}\text{C}_6$ ]JTP-20604 in 90% chemical yield.

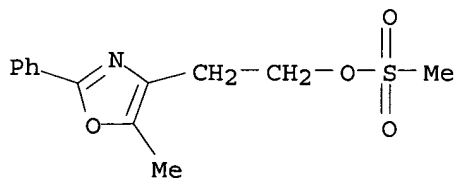
IT 227029-27-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of JTT-501 and its metabolite JTP-20604 labeled with  $^{13}\text{C}$ )

RN 227029-27-8 CAPLUS

CN 4-Oxazoleethanol, 5-methyl-2-phenyl-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:349276 CAPLUS

DOCUMENT NUMBER: 138:368621

TITLE: Preparation of benzamides as peroxisome proliferator-activated receptor (PPAR)  $\gamma$  modulators for treatment of diseases

INVENTOR(S): Amanomiya, Yoshiya; Amano, Seiji; Wakabayashi, Kenji

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 49 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

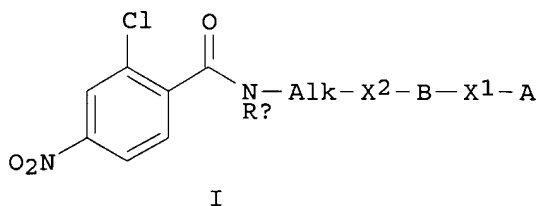
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003128639	A2	20030508	JP 2001-327197	20011025
PRIORITY APPLN. INFO.:			JP 2001-327197	20011025
OTHER SOURCE(S):	MARPAT 138:368621			

GI



AB Benzamides I [A = H, NH<sub>2</sub>, C1-12 alkylamino, OH, SH, CO<sub>2</sub>H, (un)substituted C1-6 alkyl, heterocyclyl, etc.; Alk = C1-6 alkylene; B = bond, (un)substituted aryl, cycloalkyl, heterocyclyl; Ra = H, C1-6 alkyl, aralkyl; X1, X2 = bond, O, S, CO, NH, SO<sub>2</sub>NH, NHSO<sub>2</sub>, CONH, NHCO] or their pharmacol. acceptable salts are prepared They are useful for prevention or treatment of osteoporosis, diabetes, hyperlipidemia, arteriosclerosis, obesity, tumor, etc. Thus, amidation of benzylamine with 2-chloro-5-nitrobenzoyl chloride gave 72% N-benzyl-(2-chloro-5-nitrophenyl)carboxamide, which activated or deactivated transcription of PPAR  $\gamma$  with EC<sub>50</sub> value of 460 nM and IC<sub>50</sub> value of 25 nM, resp.

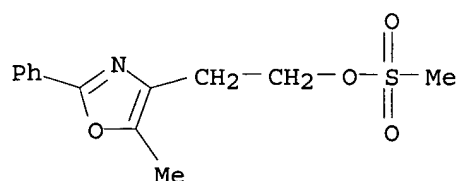
IT 227029-27-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzamides as peroxisome proliferator-activated receptor  $\gamma$  modulators for treatment of diseases)

RN 227029-27-8 CAPLUS

CN 4-Oxazoleethanol, 5-methyl-2-phenyl-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



L15 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:117811 CAPLUS

DOCUMENT NUMBER: 138:153524

TITLE: Preparation of indaneacetic acid derivatives for treating diabetes, obesity, hyperlipidemia, and atherosclerotic diseases

INVENTOR(S): Lowe, Derek B.; Wickens, Philip L.; Ma, Xin; Zhang, Mingbao; Bullock, William H.; Coish, Philip D. G.; Mugge, Ingo A.; Stolle, Andreas; Wang, Ming; Wang, Yamin; Zhang, Chengzhi; Zhang, Hai-Jun; Zhu, Lei; Tsutsumi, Manami; Livingston, James N.

PATENT ASSIGNEE(S): Bayer Corporation, USA

SOURCE: PCT Int. Appl., 189 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011842	A1	20030213	WO 2002-US23614	20020725
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

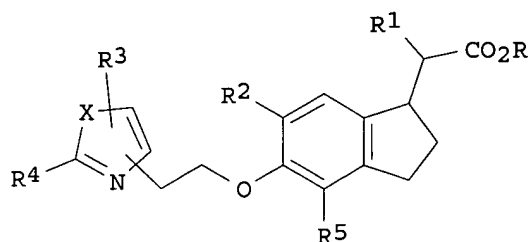
US 2003216391 A1 20031120 US 2002-205839 20020725

PRIORITY APPLN. INFO.: US 2001-308500P P 20010727

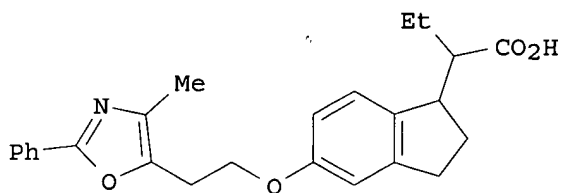
US 2002-373048P P 20020416

OTHER SOURCE(S): MARPAT 138:153524

GI



I



II

AB The title compds. I [R = H, alkyl; R1 = H, CO2R, cycloalkyl, etc.; R2 = H, halo, alkyl, etc.; R3 = H, alkyl, (un)substituted Ph; X = O, S; R4 = alkyl, cycloalkyl, Ph, etc.; R5 = H, halo, alkyl optionally substituted with oxo], useful in the treatment of diseases such as diabetes, obesity, hyperlipidemia, and atherosclerotic diseases, were prepared and formulated. Thus, reacting 2-(4-methyl-2-phenyl-1,3-oxazol-5-yl)ethanol with Me 5-hydroxy-2,3-dihydroindene-1-yl-2-butanoate (prepns. given) in the presence of DEAD and PPh3 in THF followed by hydrolysis of the ester afforded the acid II.

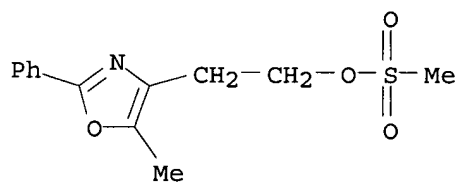
IT 227029-27-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of indane acetic acid derivs. for treating diabetes, obesity, hyperlipidemia, and atherosclerotic diseases)

RN 227029-27-8 CAPLUS

CN 4-Oxazoleethanol, 5-methyl-2-phenyl-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:927426 CAPLUS

DOCUMENT NUMBER: 138:14050

TITLE: Preparation of novel heterocyclic derivatives and medicinal use thereof

INVENTOR(S): Matsui, Hiroshi; Kobayashi, Hideo; Azukizawa, Satoru;

10634395



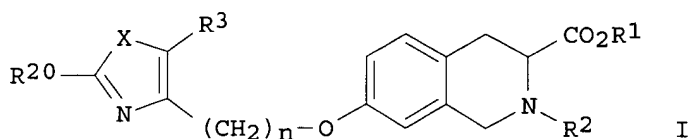
PATENT ASSIGNEE(S): Kasai, Masayasu; Yoshimi, Akihisa; Shirahase, Hiroaki  
 SOURCE: Kyoto Pharmaceutical Industries, Ltd., Japan  
 PCT Int. Appl., 72 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096904	A1	20021205	WO 2002-JP5098	20020527
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: JP 2001-161488 A 20010529

OTHER SOURCE(S): MARPAT 138:14050

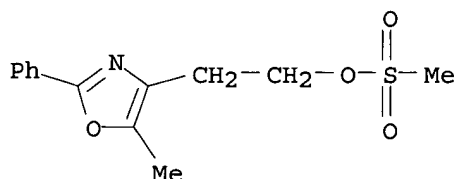
GI



AB Novel heterocyclic derivs., i.e. 7-[(oxazol-4-yl or thiazol-4-yl)alkoxy]-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid derivs. represented by the following general formula (I) or pharmaceutically acceptable salts thereof [wherein R1 represents H or C1-6 alkyl; R2 represents -COC(R4):C(R4)-R5 (wherein R4 represents H or C1-4 alkyl; and R5 represents C4-8 alkyl, C2-8 alkenyl, aryl or an aromatic heterocycle), -CO-C.tplbond.CR6 (wherein R6 represents C1-8 alkyl), etc.; R3 represents H or C1-4 alkyl; X represents O or S; R20 represents optionally substituted phenyl; and n is an integer of from 1 to 4] are prepared These compds. I are useful as antihyperglycemics, antilipemics, insulin resistance-ameliorating drugs, remedies for diabetes, remedies for diabetic complications, drugs for ameliorating glucose tolerance insufficiency, antiarteriosclerotics, antiobesity agents, antiinflammatory agents, preventives/remedies for peroxisome proliferator-activated receptor (PPAR)-mediate diseases, and preventives/remedies for X syndrome. Thus, 200 mg 2-(2-heptenoyl)-7-hydroxy-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid Me ester and 260 mg 2-(5-methyl-2-phenyloxazol-4-yl)ethyl methanesulfonate were dissolved in 6 mL toluene and treated with 260 mg K2CO3 and 40 mg tetraethylammonium fluoride hydrate at 80° for 10 h to give, after saponification with a mixture of 1 M aqueous LiOH, THF, and MeOH, 2-(2-heptenoyl)-7-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid (II). II and (3S)-7-[2-[2-(4-tert-butylphenyl)-5-methyl-2-phenyloxazol-4-yl]ethoxy]-2-(2,4-hexadienoyl)-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid tert-butylamine salt at 10 mg/kg/day for 4 days lowered blood glucose

level by 46.8 and 60.9%, resp., and blood triglyceride level by 44.9 and 73.4%, resp., in spontaneously diabetic male KKYy mice.

IT 227029-27-8P, 2-(5-Methyl-2-phenyloxazol-4-yl)ethyl methanesulfonate  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of [(oxazolyl or thiazolyl)alkoxy]tetrahydroquinolinecarboxylic acid derivs. and medicinal use thereof)  
 RN 227029-27-8 CAPLUS  
 CN 4-Oxazoleethanol, 5-methyl-2-phenyl-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:927185 CAPLUS

DOCUMENT NUMBER: 138:24716

TITLE: Preparation of azolecarboxylic acids useful as antidiabetic and antiobesity agents

INVENTOR(S): Cheng, Peter T.; Zhang, Hao; Hariharan, Narayanan

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 169 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

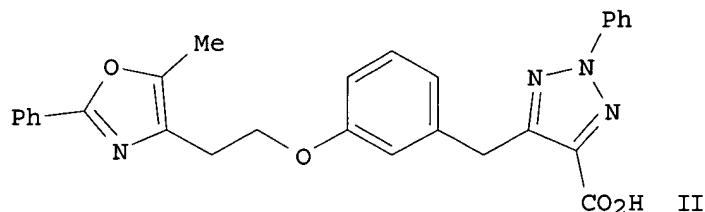
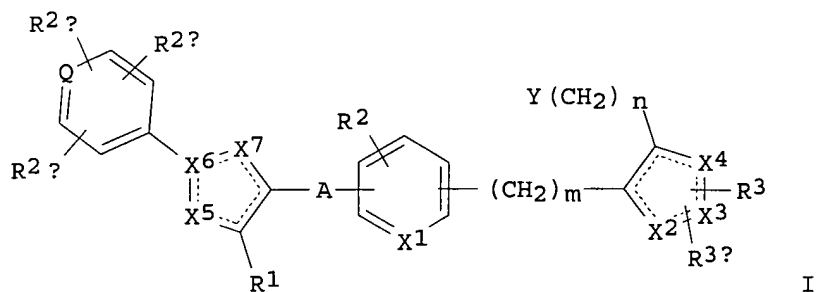
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096358	A2	20021205	WO 2002-US16633	20020523
WO 2002096358	A3	20030327		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2001-294380P P 20010530

OTHER SOURCE(S): MARPAT 138:24716

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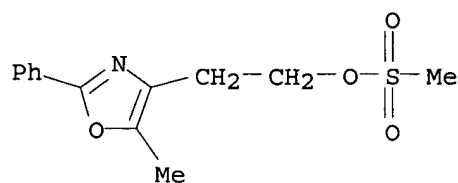
AB Title compds. [I; m, n = 0-2; Q = C, N; A = (CH<sub>2</sub>)<sub>x</sub>, (CH<sub>2</sub>)<sub>x1</sub>, (CH<sub>2</sub>)<sub>x20</sub>(CH<sub>2</sub>)<sub>x3</sub>; x = 1-5; x<sub>1</sub> = 2-5; x<sub>2</sub>, x<sub>3</sub> = 0-5; ≥1 of x<sub>2</sub>, x<sub>3</sub> ≠ 0; X<sub>1</sub> = CH, N; X<sub>2</sub>, X<sub>3</sub>, X<sub>4</sub>, X<sub>5</sub>, X<sub>7</sub> = C, N, O, S; in each of X<sub>1</sub>-X<sub>7</sub>, C may include CH; R<sub>1</sub> = H, alkyl; R<sub>2</sub> = H, alkyl, alkoxy, halo, (substituted) amino; R<sub>2a</sub>, R<sub>2b</sub> and R<sub>2c</sub> = H, alkyl, alkoxy, halo, (substituted) amino; R<sub>3</sub>, R<sub>3a</sub> = H, alkyl, arylalkyl, aryloxycarbonyl, alkyloxycarbonyl, alkynyloxycarbonyl, alkenyloxycarbonyl, arylcarbonyl, alkylcarbonyl, aryl, heteroaryl, alkyl(halo)aryloxycarbonyl, alkoxy(halo)aryloxycarbonyl, cycloalkylaryloxycarbonyl, cycloalkoxyaryloxycarbonyl, cycloheteroalkyl, heteroarylcarbonyl, heteroarylheteroarylalkyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkoxycarbonylamino, aryloxycarbonylamino, heteroarylheteroarylcarbonyl, alkylsulfonyl, alkenylsulfonyl, heteroaryloxycarbonyl, cycloheteroalkyloxycarbonyl, heteroarylalkyl, aminocarbonyl, substituted aminocarbonyl, alkylaminocarbonyl, arylaminocarbonyl, aryloxyarylalkyl, alkynyloxycarbonyl, haloalkoxyaryloxycarbonyl, alkoxycarbonylaryloxycarbonyl, aryloxyaryloxycarbonyl, arylsulfinylarylcarbonyl, etc.; Y = CO<sub>2</sub>R<sub>4</sub>, 1-tetrazolyl, P(O)(OR<sub>4a</sub>)R<sub>5</sub>, P(O)(OR<sub>4a</sub>)<sub>2</sub>; R<sub>4</sub> = H, alkyl, prodrug ester; R<sub>4a</sub> = H, prodrug ester; R<sub>5</sub> = alkyl, aryl; with provisos], were prepared as simultaneous inhibitors of peroxisome proliferator activated receptor-γ (PPAR<sub>γ</sub>) and stimulators of peroxisome proliferator activated receptor-α (PPAR<sub>α</sub>). Thus, title compound (II) (prepared starting from Meldrum's acid 3-methoxyphenylacetyl chloride) bound to human PPAR<sub>α</sub> and to PPAR<sub>γ</sub> ligand binding domains with IC<sub>50</sub> = 69 nM.

IT 227029-27-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn of azolecarboxylic acids useful as antidiabetic and antiobesity agents)

RN 227029-27-8 CAPLUS

CN 4-Oxazoleethanol, 5-methyl-2-phenyl-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



L15 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:502825 CAPLUS

DOCUMENT NUMBER: 137:63237

TITLE: Preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compounds as antidiabetic and antiobesity agents

INVENTOR(S): Cheng, Peter T.; Devasthale, Pratik; Jeon, Yoon; Chen, Sean; Zhang, Hao

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: U.S., 190 pp., Cont.-in-part of U.S. Ser. No. 664,598. CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6414002	B1	20020702	US 2001-812960	20010320
US 2003069275	A1	20030410	US 2002-80965	20020222
US 2003087935	A1	20030508	US 2002-81075	20020222
US 2003096846	A1	20030522	US 2002-80981	20020222
US 6653314	B2	20031125		

PRIORITY APPLN. INFO.:

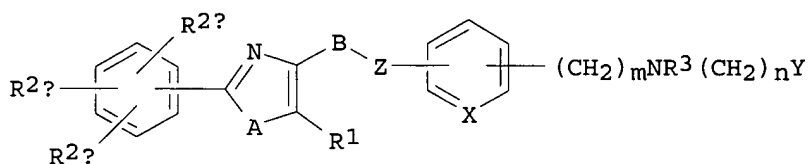
US 1999-155400P P 19990922

US 2000-664598 A2 20000918

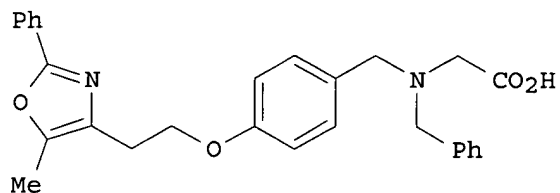
US 2001-812960 A3 20010320

OTHER SOURCE(S): MARPAT 137:63237

GI



I



II

AB Title compds. I [wherein Q = C, N; A = O, S; B = (CH2)x; Z = O, bond; X =

CH, N; R1 = H, alkyl; R2 = H, alkyl, alkoxy, halo, amino; R3 = H, alkyl, aralkyl, aryloxy, carbonyl, alkoxy, carbonyl, aryl, carbonyl, alkyl, carbonyl, aryl, heteroaryl, hydroxyalkyl, aryloxyarylalkyl, etc.; R2a, R2b, R2c = H, alkyl, alkoxy, halo, amino; Y = CO2R4, 1-tetrazolyl, PO(OR4a)R5; R4 = H, alkyl, prodrug or ester; R4a = H, prodrug ester; R5 = alkyl, aryl; x = 1-4; m, n = 1, 2] were prepared as modulators of blood glucose levels, triglyceride levels, insulin levels, and non-esterified fatty acid levels (no data). For example, 4-hydroxybenzaldehyde, 5-methyl-2-phenyloxazole-4-ethanol, Ph3P, and DEAD were stirred in THF at 0°-room temperature to give 4-(5-methyl-2-phenyloxazole-4-ethyl)benzaldehyde (65%). Addition of N-benzylglycine Et ester and NaBH(OAc)3 in 1,2-dichloroethane afforded the benzylamine derivative (55%), which was stirred with aqueous NaOH in MeOH for

14 h

to give the title compound II (71%). I are useful for the treatment of diabetes, especially Type II diabetes, as well as hyperglycemia, hyperinsulinemia, hyperlipidemia, obesity, atherosclerosis, and related diseases (no data).

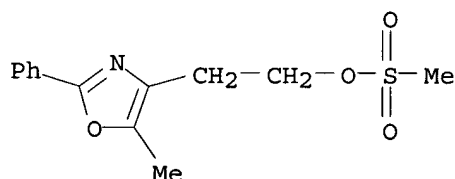
IT 227029-27-8P, 4-Oxazoleethanol, 5-methyl-2-phenyl-, methanesulfonate (ester)

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compds. as antidiabetic and antiobesity agents)

RN 227029-27-8 CAPLUS

CN 4-Oxazoleethanol, 5-methyl-2-phenyl-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:780884 CAPLUS

DOCUMENT NUMBER: 135:331416

TITLE: Preparation of thiazolidinedione derivatives and intermediates

INVENTOR(S): Scalone, Michelangelo

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001079202	A1	20011025	WO 2001-EP3802	20010404
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,				

02/12/2004

MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,  
 SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG,  
 KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2001049445 A1 20011206 US 2001-814907 20010322

US 6531609 B2 20030311

EP 1282619 A1 20030212 EP 2001-931561 20010404

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2003531146 T2 20031021 JP 2001-576801 20010404

US 2003092916 A1 20030515 US 2002-288316 20021105

US 6620941 B2 20030916

US 2004024222 A1 20040205 US 2003-634395 20030805

PRIORITY APPLN. INFO.:

EP 2000-108303 A 20000414

US 2001-814907 A3 20010322

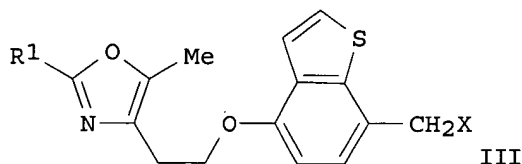
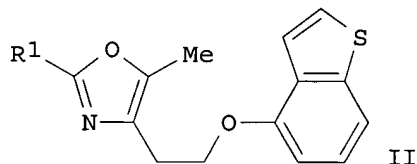
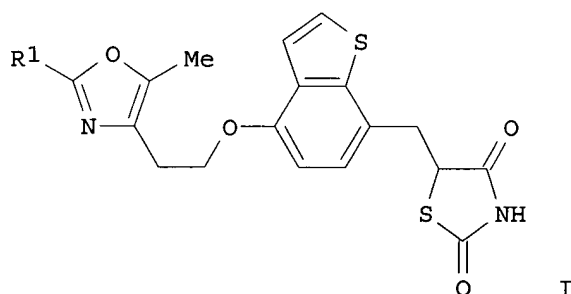
WO 2001-EP3802 W 20010404

US 2002-288316 A3 20021105

OTHER SOURCE(S):

CASREACT 135:331416; MARPAT 135:331416

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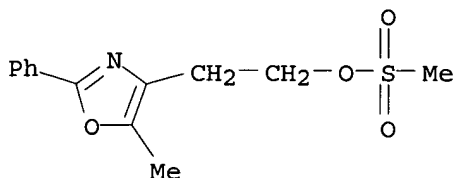
AB The title compds. [I; R1 = (hetero)aryl] and their corresponding salts, e.g., the sodium salts, which are pharmaceutically active substances in the treatment of diabetes (no biol. data) were prepared via bromomethylation or chloromethylation of II and subsequent reaction of III [~~X = Cl, Br~~] with 2,4-thiazolidinedione.

IT 227029-27-8P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of thiazolidinedione derivs. and intermediates)

RN 227029-27-8 CAPLUS

CN 4-Oxazoleethanol, 5-methyl-2-phenyl-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:581856 CAPLUS

DOCUMENT NUMBER: 135:152795

TITLE: Process for synthesis of oxazolethoxyphenylpropanoic acid derivative for use as NIDDM medicament

INVENTOR(S): Davis, Roman; Kennedy, Andrew

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001057001	A1	20010809	WO 2001-EP1041	20010201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: GB 2000-2667 A 20000204

AB Process for synthesis of calcium salt of (2S)-2-{[(Z)-1-methyl-3-oxo-3-phenyl-1-propenyl]amino}-3-{4-[2-(5-methyl-2-phenyl-1,3-oxazol-4-yl)ethoxy]phenyl}propanoic acid and physiol. acceptable solvates thereof, useful as NIDDM medicament is disclosed.

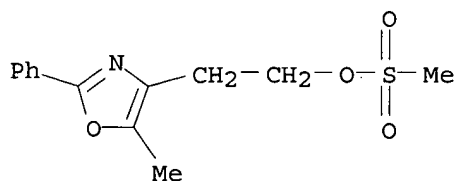
IT 227029-27-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of oxazolethoxyphenylpropanoic acid derivative for NIDDM medicament)

RN 227029-27-8 CAPLUS

CN 4-Oxazoleethanol, 5-methyl-2-phenyl-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:416908 CAPLUS

DOCUMENT NUMBER: 135:5608

TITLE: Preparation of heterocyclic compounds as hypoglycemics, hypolipemics, inflammation inhibitors, and remedies for arteriosclerosis and obesity

INVENTOR(S): Matsui, Hiroshi; Kobayashi, Hideo; Azukizawa, Satoru; Kasai, Masayasu; Yoshimi, Akihisa; Shirahase, Hiroaki

PATENT ASSIGNEE(S): Kyoto Pharmaceutical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 122 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001040192	A1	20010607	WO 2000-JP8464	20001129
W:				
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:				
GH, GM, KE, LS, MW, MZ, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001016495	A5	20010612	AU 2001-16495	20001129
EP 1236719	A1	20020904	EP 2000-979026	20001129
R:				
AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
NZ 519592	A	20031128	NZ 2000-519592	20001129
NO 2002002600	A	20020805	NO 2002-2600	20020531
US 2003027836	A1	20030206	US 2002-148386	20020531
US 6589963	B2	20030708		

PRIORITY APPLN. INFO.:

JP 1999-345543 A 19991203

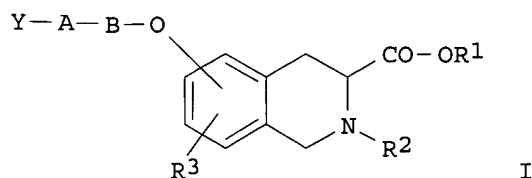
JP 2000-295108 A 20000927

WO 2000-JP8464 W 20001129

OTHER SOURCE(S): MARPAT 135:5608

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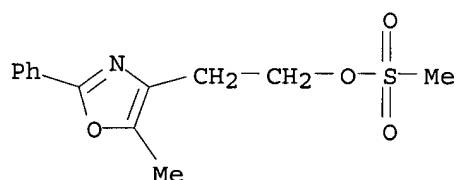
AB The title compds. I [R1 represents hydrogen or lower alkyl; R2 represents hydrogen, optionally substituted alkyl, etc.; R3 represents hydrogen, lower alkyl, etc.; A represents a single bond or NR5 (wherein R5 represents hydrogen or lower alkyl); B represents lower alkylene; and Y represents optionally substituted aryl, etc.] are prepared Because of their effects of lowering blood glucose level, lowering blood lipid level, decreasing insulin resistance and activating PPAR, these compds. are useful as hypoglycemics, hypolipidemics, remedies for diabetes, remedies for complications of diabetes, agents for ameliorating impaired glucose tolerance, antiarteriosclerosis agents, antiobesity agents, antiinflammatory agents, preventives and remedies for PPAR-mediated diseases and preventives and remedies for X syndrome.  
2-Benzyl-7-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]-1,2,3,4-tetrahydroisoquinoline-(3S)-carboxylic acid at 10 mg/kg/day orally for 4 days gave 38.3% decrease of blood glucose in mice.

IT 227029-27-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of heterocyclic compds. as hypoglycemics, hypolipemics, inflammation inhibitors, and remedies for arteriosclerosis and obesity)

RN 227029-27-8 CAPLUS

CN 4-Oxazoleethanol, 5-methyl-2-phenyl-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:228872 CAPLUS

DOCUMENT NUMBER: 134:266299

TITLE: Preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compounds as antidiabetic and antiobesity agents.

INVENTOR(S): Cheng, Peter T. W.; Devasthale, Pratik; Jeon, Yoon T.; Chen, Sean; Zhang, Hao

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 362 pp.

CODEN: PIXXD2

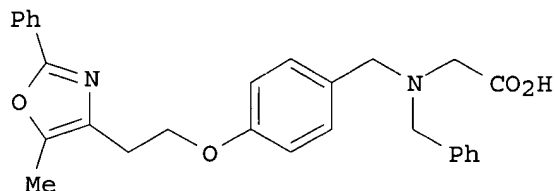
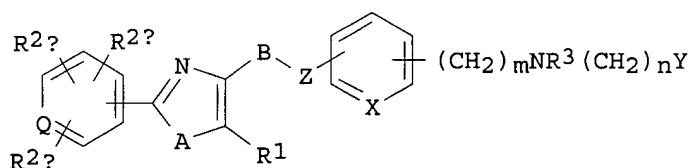
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021602	A1	20010329	WO 2000-US25710	20000919
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1218361	A1	20020703	EP 2000-965172	20000919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000014189	A	20020820	BR 2000-14189	20000919
JP 2003509503	T2	20030311	JP 2001-524981	20000919
NO 2002001408	A	20020514	NO 2002-1408	20020321
PRIORITY APPLN. INFO.:			US 1999-155400P	P 19990922
			WO 2000-US25710	W 20000919
OTHER SOURCE(S):			MARPAT 134:266299	
GI				



AB Title compds. [I; Q = C, N; A = O, S; B = (CH<sub>2</sub>)<sub>x</sub>; Z = O, bond; X = CH, N; R<sub>1</sub> = H, alkyl; R<sub>2</sub> = H, alkyl, alkoxy, halo, amino; R<sub>3</sub> = H, alkyl, aralkyl, aryloxy, carbonyl, alkoxy, carbonyl, aryl, carbonyl, alkyl, carbonyl, aryl, heteroaryl, hydroxyalkyl, aryloxyarylalkyl, etc.; R<sub>2a</sub>, R<sub>2b</sub>, R<sub>2c</sub> = H, alkyl, alkoxy, halo, amino; Y = CO<sub>2</sub>R<sub>4</sub>, 1-tetrazolyl, PO(OR<sub>4a</sub>)R<sub>5</sub>; R<sub>4</sub> = H, alkyl, prodrug or ester; R<sub>4a</sub> = H, prodrug ester; R<sub>5</sub> = alkyl, aryl; x = 1-4; m, n = 1, 2], were prepared as modulators of blood glucose levels, triglyceride levels, insulin levels, and non-esterified fatty acid levels (no data). Thus, 4-hydroxybenzaldehyde, 5-methyl-2-phenyloxazole-4-ethanol, Ph<sub>3</sub>P, and DEAD were stirred in THF at 0°-room temperature to give 65% 4-(5-methyl-2-phenyloxazole-4-ethyl)benzaldehyde. This was stirred 12 h with N-benzylglycine Et ester and NaBH(OAc)<sub>3</sub> in 1,2-dichloroethane to give 55% benzylamine derivative, which was stirred 14 h

with aqueous NaOH in MeOH to give 71% title compound (II).

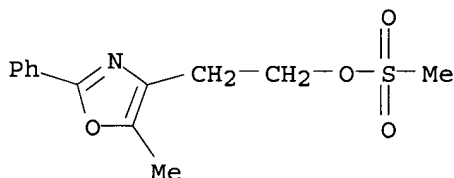
IT 227029-27-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compds. as antidiabetic and antiobesity agents)

RN 227029-27-8 CAPLUS

CN 4-Oxazoleethanol, 5-methyl-2-phenyl-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:117035 CAPLUS

DOCUMENT NUMBER: 132:151814

TITLE: Preparation of substituted oxazoles and thiazoles as hPPAR gamma and hPPAR alpha activators

INVENTOR(S): Collins, Jon Loren; Dezube, Milana; Oplinger, Jeffrey Alan; Willson, Timothy Mark

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 110 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

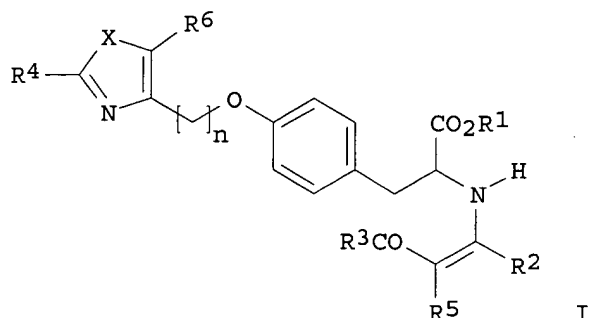
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000008002	A1	20000217	WO 1999-EP5666	19990805
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2339773	AA	20000217	CA 1999-2339773	19990805
AU 9957310	A1	20000228	AU 1999-57310	19990805
EP 1102757	A1	20010530	EP 1999-944335	19990805
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9912866	A	20011030	BR 1999-12866	19990805
EE 200100074	A	20020617	EE 2001-74	19990805
ZA 2001000983	A	20020305	ZA 2001-983	20010205
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HR 2001000095	A1	20020228	HR 2001-95	20010207

US 6498174 B1 20021224 US 2001-762445 20010222  
 PRIORITY APPLN. INFO.: GB 1998-17118 A 19980807  
 WO 1999-EP5666 W 19990805  
 OTHER SOURCE(S): MARPAT 132:151814  
 GI



AB The title compds. [I; R1 = H, alkyl; R2 = H, alkyl, haloalkyl; R3 = alkyl, cycloalkyl, cycloalkenyl, etc.; R4 = (un)substituted 5-6 membered heterocyclyl containing at least one O, N or S atom, Ph; R5 = H, halo, alkyl, haloalkyl; R6 = H, alkyl; X = O, S; n = 1-3], which are dual activators of hPPAR $\gamma$  and hPPAR $\alpha$ , were prepared Thus, refluxing a suspension of (2S)-2-amino-3-{4-[2-(5-methyl-2-phenyl-1,3-oxazol-4-yl)ethoxy]phenyl}propanoic acid (preparation given) and benzoylacetone in MeOH and trimethylorthoformate afforded 43% (2S)-(Z)-I [R1 = H; R2 = Me; R3 = Ph; R4 = Ph; R5 = H; R6 = Me; X = O; n = 2] which showed 39% glucose reduction in rats.

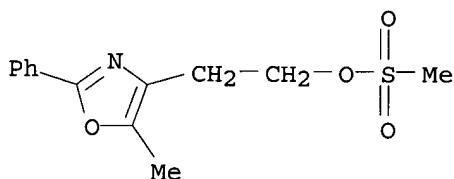
IT 227029-27-8P 258347-24-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted oxazoles and thiazoles as hPPAR gamma and hPPAR alpha activators)

RN 227029-27-8 CAPLUS

CN 4-Oxazoleethanol, 5-methyl-2-phenyl-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



RN 258347-24-9 CAPLUS

CN 4-Oxazoleethanol, 5-ethyl-2-phenyl-, methanesulfonate (ester) (9CI) (CA INDEX NAME)